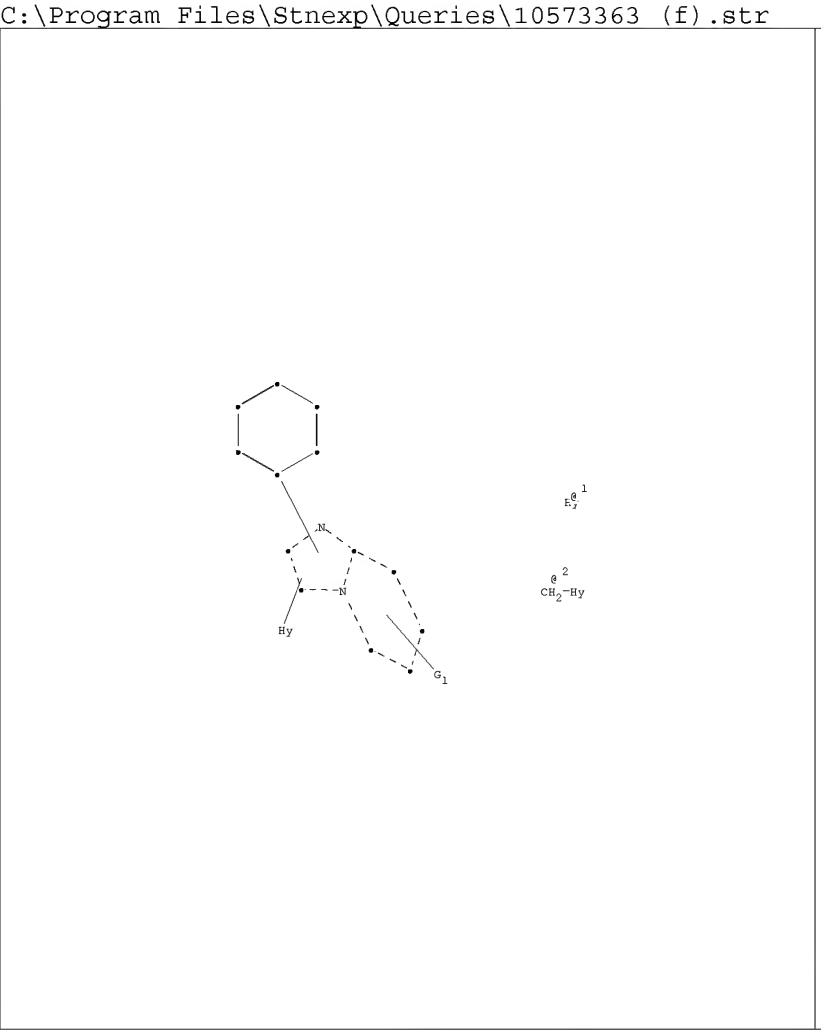
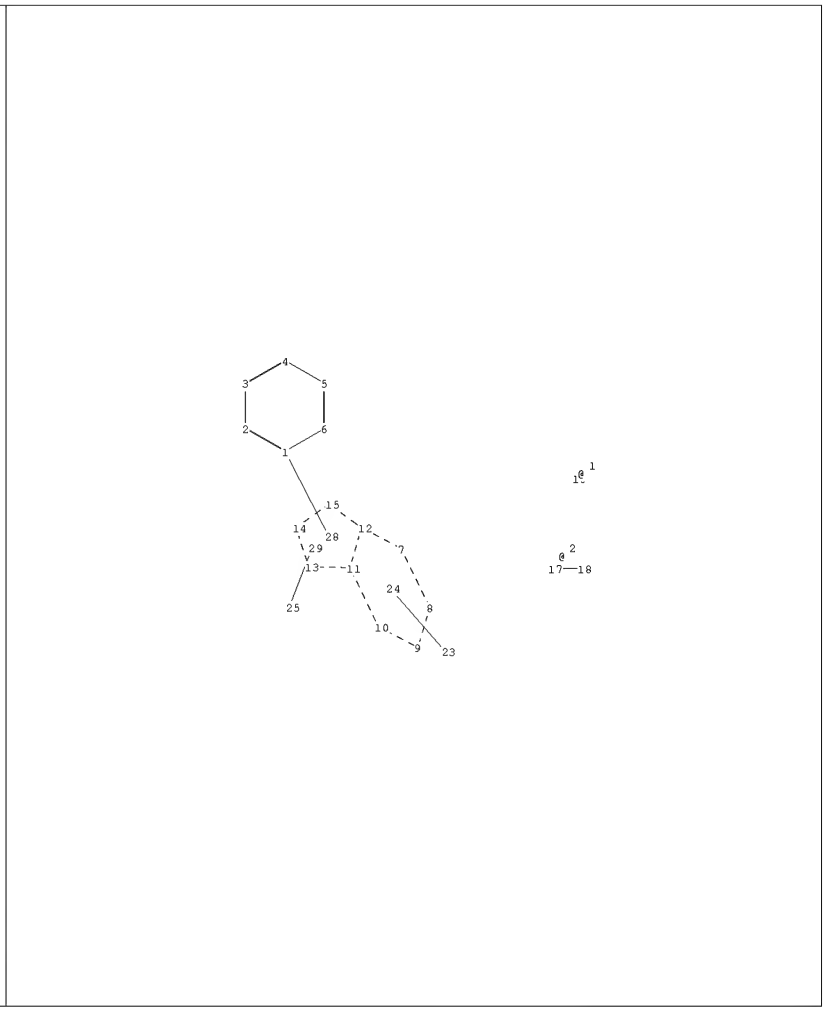
C:\Program Files\Stnexp\Queries\10573363 (f).str





chain nodes :
16 17 18 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15
exact/norm bonds :
7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:Atom 23:CLASS 24:Atom 25:Atom
28:Atom 29:Atom
Generic attributes :
16:
Saturation : Saturated
Number of Hetero Atoms : Exactly 1
18:
Saturation : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System : Monocyclic
25:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

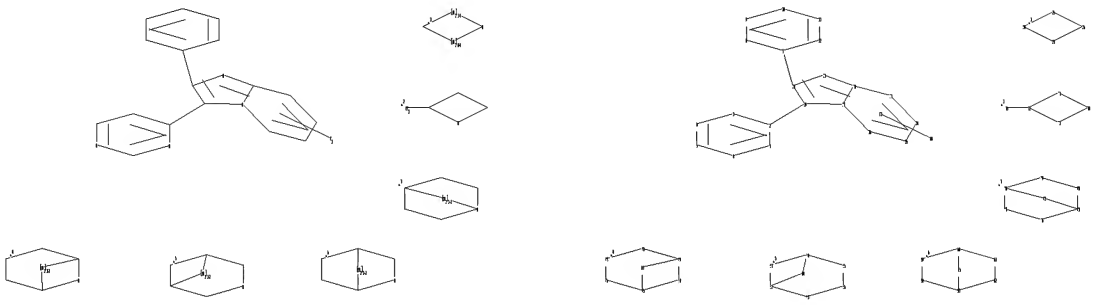
Element Count :

```
Node 16: Limited
    N,N1
    C,C3
    O,O0
    S,S0

Node 18: Limited
    C,C3
    N,N1
    S,S0
    O,O0

Node 25: Limited
    C,C4
    N,N2
    O,O0
    S,S0
```

=>
Uploading C:\Program Files\Stnexp\Queries\10573363.str



chain nodes :
35 80
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 23 24
25 26 31 32 33 34 36 37 38 39 40 41 42 45 46 47 48 49 50 51 52
53 54 55 56 57 58 59 60 61 62 63 64 65

```
chain bonds :
2-19  7-20  32-35
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  17-19  18-21  19-20  20-21  23-24  23-26  24-25  25-26
31-32  31-34  32-33  33-34  36-37  36-41  37-38  38-39  38-42  39-40  40-41  41-42
45-46  45-50  45-63  46-47  47-48  48-49  49-50  49-63  51-52  51-56  52-53  52-64
53-54  54-55  54-64  55-56  57-58  57-62  57-65  58-59  59-60  60-61  60-65  61-62
exact/norm bonds :
13-18  16-17  17-18  17-19  18-21  19-20  20-21  31-32  31-34  32-33  33-34  36-37
36-41  37-38  38-39  39-40  40-41  45-46  45-50  45-63  46-47  47-48  48-49  49-50
49-63  51-52  51-56  52-53  52-64  53-54  54-55  54-64  55-56  57-58  57-62  58-59
59-60  60-61  61-62
exact bonds :
2-19  7-20  23-24  23-26  24-25  25-26  32-35  38-42  41-42  57-65  60-65
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  14-15
15-16
isolated ring systems :
containing 1 : 7 : 13 : 23 : 31 : 36 : 45 : 51 : 57 :


G1:[*1],[*2],[*3],[*4],[*5],[*6]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  23:Atom  24:Atom  25:Atom  26:Atom  31:Atom  32:Atom  33:Atom
34:Atom  35:CLASS  36:Atom  37:Atom  38:Atom  39:Atom  40:Atom  41:Atom  42:Atom
45:Atom  46:Atom  47:Atom  48:Atom  49:Atom  50:Atom  51:Atom  52:Atom  53:Atom
54:Atom  55:Atom  56:Atom  57:Atom  58:Atom  59:Atom  60:Atom  61:Atom  62:Atom
63:Atom  64:Atom  65:Atom  80:CLASS  81:Atom



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 05:33:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       82 TO ITERATE

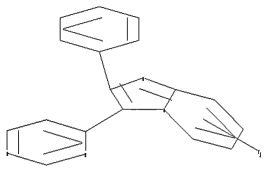
100.0% PROCESSED       82 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

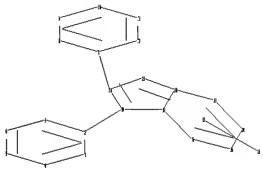
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1097 TO     2183
```

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10573363 (a).str





chain nodes :
23 24 25 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21
chain bonds :
2-19 7-20 24-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 17-19 18-21 19-20 20-21
exact/norm bonds :

```
13-18  16-17  17-18  17-19  18-21  19-20  20-21  24-25
exact bonds :
2-19  7-20
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  14-15
15-16
isolated ring systems :
containing 1 : 7 : 13 :


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  23:Atom  24:CLASS  25:Atom  31:CLASS  32:Atom
Generic attributes :
23:
Saturation             : Saturated
Number of Hetero Atoms : Exactly 1
25:
Saturation             : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic

Element Count :
Node 23: Limited
    N,N1

Node 25: Limited
    C,C3
    N,N1
    S,S0
    O,O0




L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

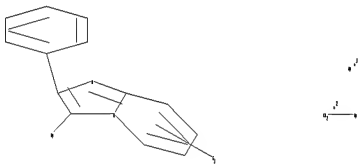

=> s l3 sss sam
SAMPLE SEARCH INITIATED 05:37:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       84 TO ITERATE

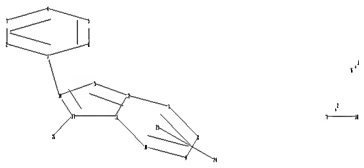
100.0% PROCESSED       84 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1131 TO     2229
PROJECTED ANSWERS:               0 TO        0

L4            0 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\10573363 (b).str
```





```
chain nodes :
16  17  18  24  26
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
chain bonds :
1-14  13-26  17-18
ring bonds :
```

```
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  11-13  12-15
13-14  14-15
exact/norm bonds :
7-12  10-11  11-12  11-13  12-15  13-14  13-26  14-15  17-18
exact bonds :
1-14
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  8-9  9-10


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:Atom  24:CLASS
25:Atom  26:Atom
Generic attributes :
16:
Saturation              : Saturated
Number of Hetero Atoms  : Exactly 1
18:
Saturation              : Saturated
Number of Carbon Atoms  : less than 7
Number of Hetero Atoms  : Exactly 1
Type of Ring System     : Monocyclic
26:
Saturation              : Unsaturated
Number of Carbon Atoms  : less than 7
Number of Hetero Atoms  : 2 or more
Type of Ring System     : Monocyclic

Element Count :
Node 16: Limited
    N,N1

Node 18: Limited
    C,C3
    N,N1
    S,S0
    O,O0

Node 26: Limited
    C,C4
    N,N2
    O,O0
    S,S0




L5      STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5              STR
```

```
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l5 sss sam
SAMPLE SEARCH INITIATED 05:39:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1985 TO ITERATE

100.0% PROCESSED     1985 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        37028 TO    42372
PROJECTED ANSWERS:               0 TO        0

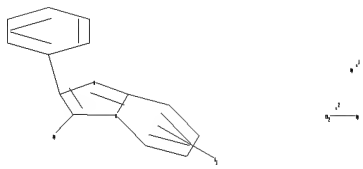
L6             0 SEA SSS SAM L5

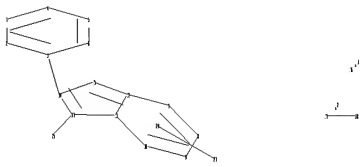
=> s l5 sss ful
FULL SEARCH INITIATED 05:39:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    39909 TO ITERATE

100.0% PROCESSED    39909 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.04

L7             0 SEA SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10573363 (d).str
```





chain nodes :
16 17 18 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
1-14 13-25 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15
13-14 14-15
exact/norm bonds :
7-12 10-11 11-12 11-13 12-15 13-14 13-25 14-15 17-18
exact bonds :
1-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 8-9 9-10

```
G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:Atom  23:CLASS
24:Atom  25:Atom
Generic attributes :
16:
Saturation             : Saturated
Number of Hetero Atoms : Exactly 1
18:
Saturation             : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic
25:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System    : Monocyclic

Element Count :
Node 16: Limited
    N,N1
    C,C3
    O,O0
    S,S0

Node 18: Limited
    C,C3
    N,N1
    S,S0
    O,O0

Node 25: Limited
    C,C4
    N,N2
    O,O0
    S,S0




L8      STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l8 sss sam
```

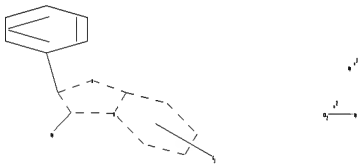
```
SAMPLE SEARCH INITIATED 05:43:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1985 TO ITERATE

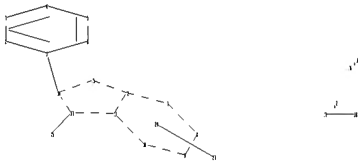
100.0% PROCESSED     1985 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        37028 TO    42372
PROJECTED ANSWERS:               0 TO        0

L9            0 SEA SSS SAM L8

=>
Uploading C:\Program Files\Stnexp\Queries\10573363 (e).str
```





```
chain nodes :
16  17  18  23  25
```

```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
chain bonds :
1-14  13-25  17-18
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  11-13  12-15
13-14  14-15
exact/norm bonds :
7-8  7-12  8-9  9-10  10-11  11-12  11-13  12-15  13-14  13-25  14-15  17-18
exact bonds :
1-14
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:Atom  23:CLASS
24:Atom  25:Atom
Generic attributes :
16:
Saturation              : Saturated
Number of Hetero Atoms : Exactly 1
18:
Saturation              : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic
25:
Saturation              : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System    : Monocyclic

Element Count :
Node 16: Limited
    N,N1
    C,C3
    O,O0
    S,S0

Node 18: Limited
    C,C3
    N,N1
    S,S0
    O,O0

Node 25: Limited
    C,C4
    N,N2
    O,O0
    S,S0
```

```
L10     STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10             STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

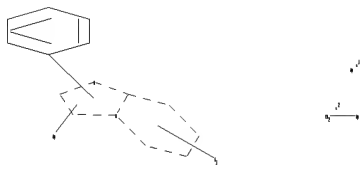

=> s l10 sss sam
SAMPLE SEARCH INITIATED 05:44:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1985 TO ITERATE

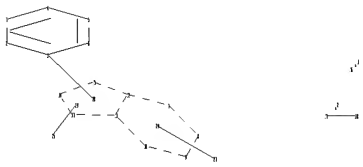
100.0% PROCESSED     1985 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        37028 TO    42372
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L10

=>
Uploading C:\Program Files\Stnexp\Queries\10573363 (f).str
```





chain nodes :
16 17 18 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15
13-14 14-15
exact/norm bonds :
7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2]

```
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:Atom  23:CLASS
24:Atom  25:Atom  28:Atom  29:Atom
Generic attributes :
16:
Saturation             : Saturated
Number of Hetero Atoms : Exactly 1
18:
Saturation             : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic
25:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System    : Monocyclic

Element Count :
Node 16: Limited
    N,N1
    C,C3
    O,O0
    S,S0

Node 18: Limited
    C,C3
    N,N1
    S,S0
    O,O0

Node 25: Limited
    C,C4
    N,N2
    O,O0
    S,S0




L12     STRUCTURE UPLOADED

=> d l12
L12 HAS NO ANSWERS
L12             STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l12 sss sam
SAMPLE SEARCH INITIATED 05:46:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     9190 TO ITERATE
```

```
 21.8% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       178054 TO   189546
PROJECTED ANSWERS:               0 TO        0

L13           0 SEA SSS SAM L12

=> s l12 sss ful
FULL SEARCH INITIATED 05:46:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   184311 TO ITERATE

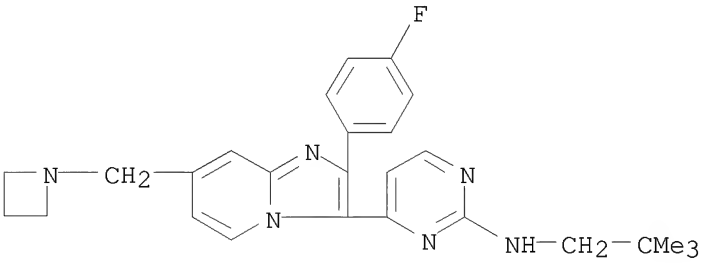
100.0% PROCESSED   184311 ITERATIONS                              30 ANSWERS
SEARCH TIME: 00.00.04

L14          30 SEA SSS FUL L12

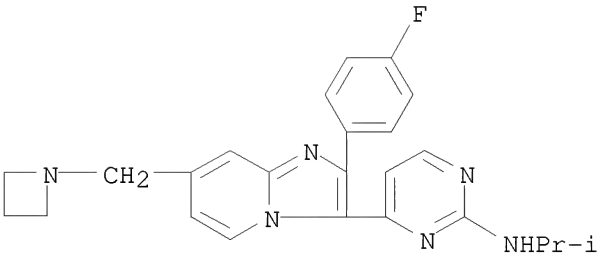
=> => s l14
L15          9 L14

=> d l15 1-9 bib,ab,hitstr
```

L15 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:652151 CAPLUS
DN 147:277515
TI Synthesis and SAR studies of potent imidazopyridine anticoccidial agents
AU Liang, Gui-Bai; Qian, Xiaoxia; Feng, Dennis; Fisher, Michael; Brown, Christine M.; Gurnett, Anne; Leavitt, Penny Sue; Liberator, Paul A.; Misura, Andrew S.; Tamas, Tamas; Schmatz, Dennis M.; Wyvratt, Matthew; Biftu, Tesfaye
CS Merck Research Laboratories, Department of Medicinal Chemistry, Merck and Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(13), 3558-3561
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:277515
AB Diaryl imidazo[1,2-a]pyridine derivs. have been synthesized and found to be potent inhibitors of parasite PKG activity. The most potent compds. are the 7-isopropylaminomethyl analog I and 2-isopropylamino analog II. These compds. were also fully active in in vivo assay as anticoccidial agents at 25 ppm in feed.
IT 480456-05-1P 480456-13-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (aminopyrimidinyl)(fluorophenyl)imidazopyridine derivs. using amination of (fluorophenyl)hydroxymethyl(methylsulfonylpyrimidinyl)imidazopyridine with amines as key steps, and their anticoccidial activity and SAR)
RN 480456-05-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(2,2-dimethylpropyl)- (CA INDEX NAME)

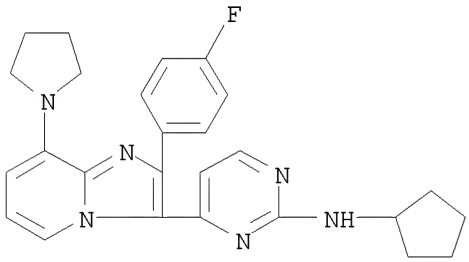


RN 480456-13-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(1-methylethyl)- (CA INDEX NAME)



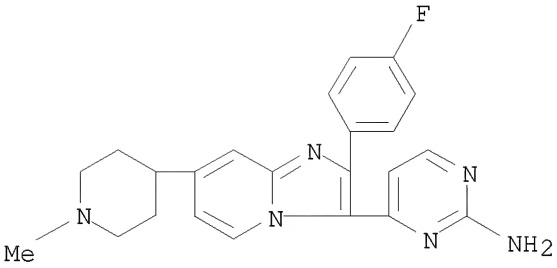
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:477982 CAPLUS
DN 147:95595
TI Imidazo[1,2-a]pyridines with potent activity against herpesviruses
AU Gudmundsson, Kristjan S.; Johns, Brian A.
CS Department of Medicinal Chemistry, Infectious Diseases Center of Excellence for Drug Discovery, GlaxoSmithKline Research & Development, Research Triangle Park, NC, 27709-3398, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(10), 2735-2739
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:95595
AB Synthesis of a series of 2-aryl-3-pyrimidylimidazo[1,2-a]pyridines (e.g. I) with potent activity against herpes simplex viruses is described. Synthetic approaches allowing for variation of the 2-aryl, 3-heteroaryl as well as other imidazopyridine substituents are outlined and resulting effects on antiviral activity are highlighted. Several compds. with in vitro antiviral activity similar or better than acyclovir are described.
IT 481048-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazo[1,2-a]pyridines with activity against herpes simplex viruses)
RN 481048-64-0 CAPLUS
CN 2-Pyrimidinamine, N-cyclopentyl-4-[2-(4-fluorophenyl)-8-(1-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



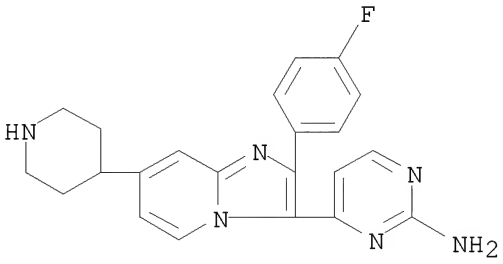
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:970603 CAPLUS
DN 147:63360
TI Inhibitors of casein kinase 1 block the growth of Leishmania major promastigotes in vitro
AU Allocco, John J.; Donald, Robert; Zhong, Tanya; Lee, Anita; Tang, Yui Sing; Hendrickson, Ronald C.; Liberator, Paul; Nare, Bakela
CS Department of Infectious Disease Research, Merck Research Laboratories, Merck and Co., Inc., Rahway, NJ, 07065-0900, USA
SO International Journal for Parasitology (2006), 36(12), 1249-1259
CODEN: IJPYBT; ISSN: 0020-7519
PB Elsevier Ltd.
DT Journal
LA English
AB Casein kinase 1 (CK1) is a family of multifunctional Ser/Thr protein kinases that are ubiquitous in eukaryotic cells. Recent studies have demonstrated the existence of, and role for, CK1 in protozoan parasites such as Leishmania, Plasmodium and Trypanosoma. The value of protein kinases as potential drug targets in protozoa is evidenced by the successful exploitation of cGMP-dependent protein kinase (PKG) with selective tri-substituted pyrrole and imidazopyridine inhibitors. These compds. exhibit in vivo efficacy against Eimeria tenella in chickens and Toxoplasma gondii in mice. We now report that both of these protein kinase inhibitor classes inhibit the growth of Leishmania major promastigotes and Trypanosoma brucei bloodstream forms in vitro. Genome informatics predicts that neither of these trypanosomatids codes for a PKG orthologue. Biochem. studies have led to the unexpected discovery that an isoform of CK1 represents the primary target of the pyrrole and imidazopyridine kinase inhibitors in these organisms. CK1 from exts. of L. major promastigotes co-fractionated with [3H]imidazopyridine binding activity. Further purification of CK1 activity from L. major and characterization via liquid chromatog. coupled tandem mass spectrometry identified CK1 isoform 2 as the specific parasite protein inhibited by imidazopyridines. L. major CK1 isoform 2 expressed as a recombinant protein in Escherichia coli displayed biochem. and inhibition characteristics similar to those of the purified native enzyme. The results described here warrant further evaluation of the activity of these kinase inhibitors against mammalian stage Leishmania parasites in vitro and in animal models of infection, as well as studies to genetically validate CK1 as a therapeutic target in trypanosomatid parasites.
IT 762172-81-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrrole and imidazopyridine cyclic guanosine monophosphate-dependent protein kinase inhibited growth of and Trypanosoma brucei bloodstream forms in parasite culture)
RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



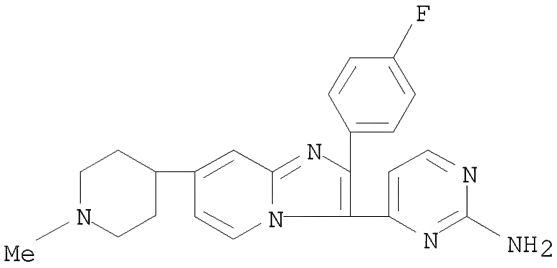
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:274310 CAPLUS
DN 144:488575
TI Synthesis and SAR studies of very potent imidazopyridine antiprotozoal agents
AU Biftu, Tesfaye; Feng, Dennis; Fisher, Michael; Liang, Gui-Bai; Qian, Xiaoxia; Scribner, Andrew; Dennis, Richard; Lee, Shuliang; Liberator, Paul A.; Brown, Chris; Gurnett, Anne; Leavitt, Penny S.; Thompson, Donald; Mathew, John; Misura, Andrew; Samaras, Samantha; Tamas, Tamas; Sina, Joseph F.; McNulty, Kathleen A.; McKnight, Crystal G.; Schmatz, Dennis M.; Wyvratt, Matthew
CS Merck Research Laboratories, Department of Medicinal Chemistry, Merck and Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(9), 2479-2483
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:488575
AB Aryl(pyrimidinyl)imidazopyridines (I) were prepared and tested for antiprotozoal activity. I [R = CH2NMe2] (IC50 110 pM) and I [R = 1-methyl-4-piperidinyl] (IC50 40 pM) are the most potent inhibitors of Eimeria tenella cGMP-dependent protein kinase activity reported to date and are efficacious in the in vivo antiparasitic assay when administered to chickens at 12.5 and 6.25 ppm levels in the feed. However, both compds. are pos. in the Ames microbial mutagenesis assay which precludes them from further development as antiprotozoal agents in the absence of neg. lifetime rodent carcinogenicity studies.
IT 762172-80-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762172-80-5 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)

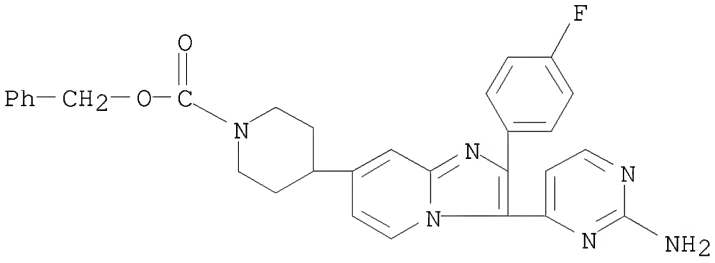


IT 762172-81-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-

piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



IT 762173-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762173-02-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:696683 CAPLUS
DN 143:189116
TI cDNA molecules and polypeptides of Toxoplasma gondii and Eimeria tenella casein kinase I isoenzymes, sequences and biological uses thereof
IN Donald, Robert G. K.; Liberator, Paul; Zhong, Xiaotian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005070180	A2	20050804	WO 2005-US955	20050112
WO 2005070180	A3	20061123		

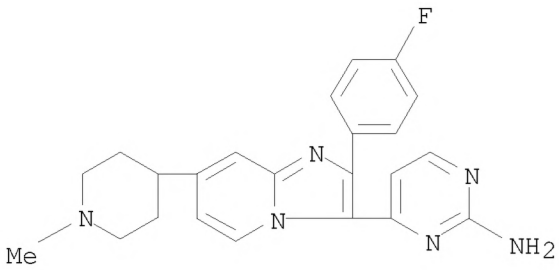
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-537094P P 20040116

AB The invention provides cDNA mols. and polypeptides of Toxoplasma gondii casein kinase I isoenzymes α and β (TgCKIα and TgCKIβ), and Eimeria tenella casein kinase I isoenzyme α (EtCKIα). The invention also provides expression vectors comprising said TgCKIα, TgCKβ and EtCKIα-encoding cDNAs and use of said vectors in transforming host cells resulting in recombinant production of said CKI isoenzymes. The invention further provides for the use of recombinant CKI isoenzymes in testing compds. that modulate said CKI isoenzymes. Finally, the invention provides the cDNA and amino acid sequences of TgCKIα, TgCKIβ and EtCKIα. In the examples, the invention presented the purification and characterization of said casein kinase I isoenzymes, including their sensitivity to variety of CDK inhibitors.

IT 762172-81-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of casein kinase I isoenzymes from Eimeria tenella and Toxoplasma gondii, including their sensitivity to variety of CDK inhibitors)

RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)


F
N
N
N
N
N
Me
NH2

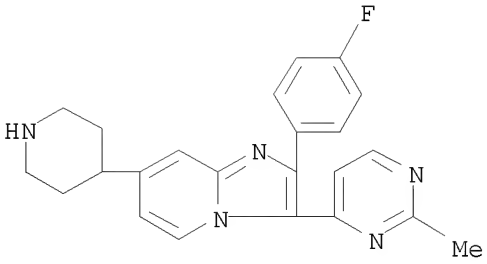
L15 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:588514 CAPLUS
DN 143:115554
TI A preparation of pyrimidinylimidazopyridine derivatives, useful as anticoccidial agents
IN Biftu, Tesfaye; Fisher, Michael H.; Wyvratt, Matthew J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2

Applicant's

DT Patent
LA English
FAN.CNT 1

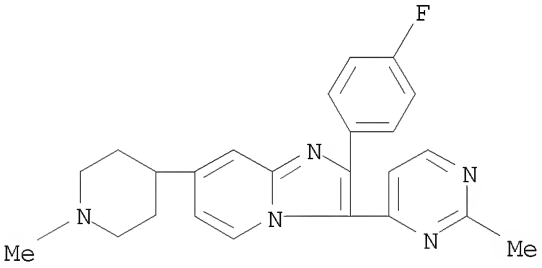
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005060571	A2	20050707	WO 2004-US40617	20041206
	WO 2005060571	A3	20051215		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2006293303	A1	20061228	[redacted]	20060324
PRAI	US 2003-528570P	P	20031210		
	WO 2004-US40617	W	20041206		

OS MARPAT 143:115554
AB The invention relates to a preparation of pyrimidinylimidazopyridine derivs. of formula I [wherein: R1 is H, alkyl, or halogen; R2 is H, (cyclo)alkyl, CF3, or (hetero)aryl; R3 is N-containing heterocycle; R4 is H or halogen], useful as anticoccidial agents (no biol. data). The compds. are useful for the treatment and prevention of protozoal diseases in mammals and birds. A method for controlling coccidiosis in poultry comprises administering an effective amount of the compound alone, or in combination with one or more anticoccidial agent(s). The invention also relates to methods for the treatment and prevention of mammalian protozoal diseases, such as, for example, toxoplasmosis, malaria. For instance, pyrimidinylimidazopyridine derivative II was prepared via heterocyclization of propenoylimidazopyridine derivative III with acetamidine, N-cleavage, and subsequent N-methylation (the yield of heterocyclization was 89%).
IT 857434-27-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)
RN 857434-27-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)-7-(4-piperidinyl)- (CA INDEX NAME)

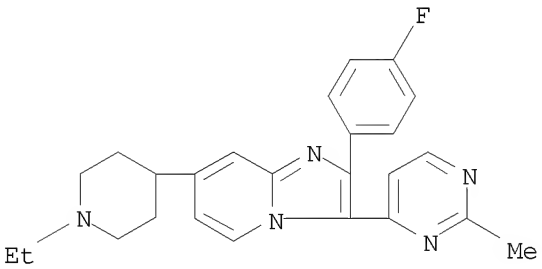


IT 857433-91-1P 857434-31-2P 857434-34-5P
857434-37-8P 857434-39-0P 857434-45-8P
857434-51-6P 857434-55-0P 857434-59-4P
857434-62-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)

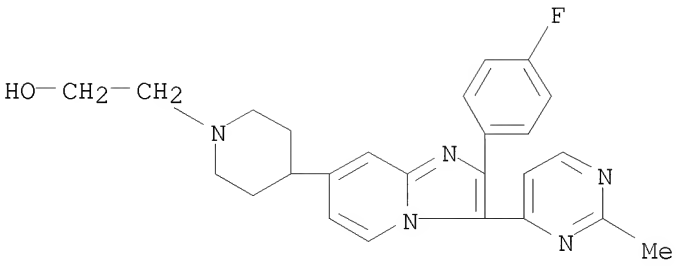
RN 857433-91-1 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(2-methyl-4-pyrimidinyl)- (CA INDEX NAME)



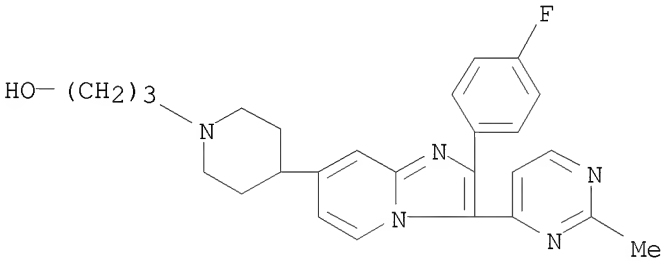
RN 857434-31-2 CAPLUS
CN Imidazo[1,2-a]pyridine, 7-(1-ethyl-4-piperidinyl)-2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)- (CA INDEX NAME)



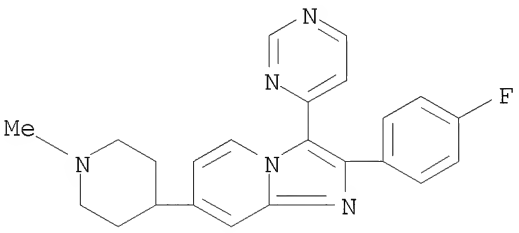
RN 857434-34-5 CAPLUS
CN 1-Piperidineethanol, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]- (CA INDEX NAME)



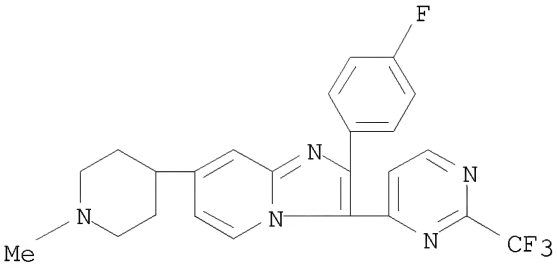
RN 857434-37-8 CAPLUS
CN 1-Piperidinepropanol, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]- (CA INDEX NAME)



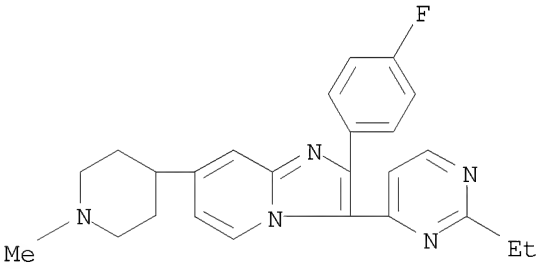
RN 857434-39-0 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(4-pyrimidinyl)- (CA INDEX NAME)



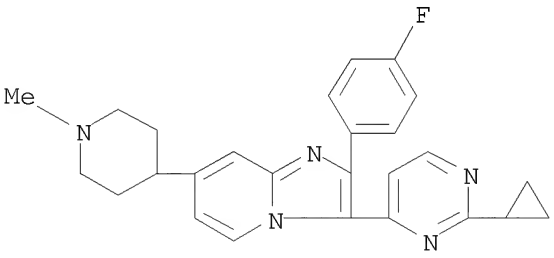
RN 857434-45-8 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-[2-(trifluoromethyl)-4-pyrimidinyl]- (CA INDEX NAME)



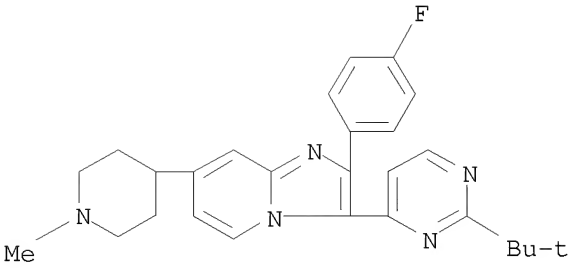
RN 857434-51-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-ethyl-4-pyrimidinyl)-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



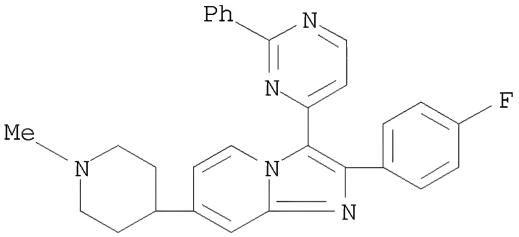
RN 857434-55-0 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-cyclopropyl-4-pyrimidinyl)-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



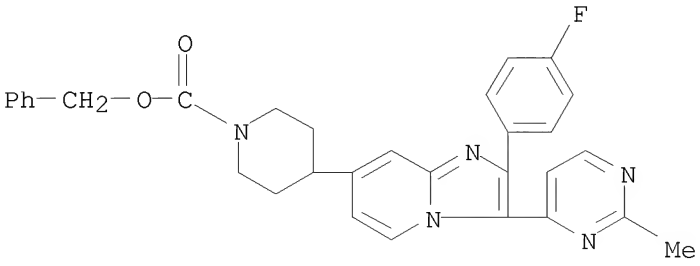
RN 857434-59-4 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-[2-(1,1-dimethylethyl)-4-pyrimidinyl]-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



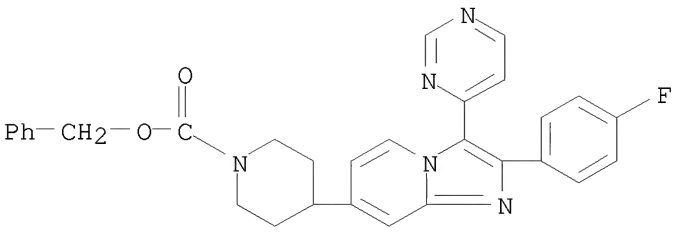
RN 857434-62-9 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(2-phenyl-4-pyrimidinyl)- (CA INDEX NAME)



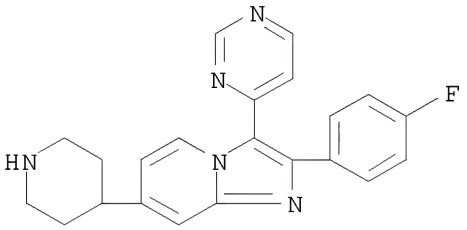
IT 857434-23-2P 857434-40-3P 857434-43-6P
857434-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)
RN 857434-23-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



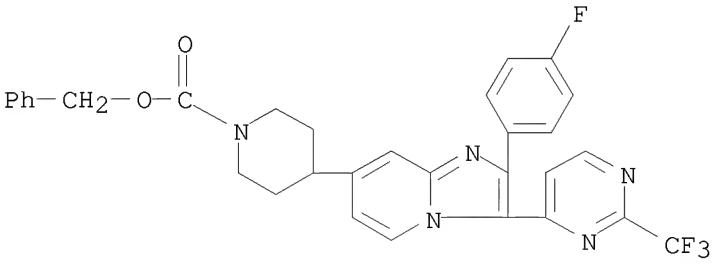
RN 857434-40-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-(4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



RN 857434-43-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(4-piperidinyl)-3-(4-pyrimidinyl)- (CA INDEX NAME)



RN 857434-48-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-[2-(trifluoromethyl)-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



L15 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:775892 CAPLUS
DN 141:296019
TI Antiprotozoal imidazopyridine compounds and their preparation, use, and compositions for the treatment of coccidiosis in poultry or protozoal diseases in mammals
IN Wyvratt, Matthew J.; Biftu, Tesfaye; Fisher, Michael H.; Schmatz, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004080390	A2	20040923	WO 2004-US6153	20040302
	WO 2004080390	A3	20050120		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004220648	A1	20040923	AU 2004-220648	20040302
	CA 2517427	A1	20040923	CA 2004-2517427	20040302
	EP 1603900	A2	20051214	EP 2004-716431	20040302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	JP 2006520819	T	20060914	JP 2006-508940	20040302
	US 2006178358	A1	20060810	US 2005-548154	20050906
PRAI	US 2003-452467P	P	20030306		
	WO 2004-US6153	A	20040302		

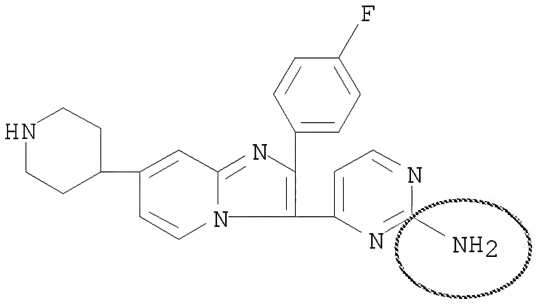
OS MARPAT 141:296019
AB Compds. described by I and their pharmaceutically acceptable salts and/or N-oxides are disclosed [wherein: R1 = H, Me, or F; R2 = H or Me; R3 = -L-NRcRd, or various mono- and bicyclic saturated amines bound at carbon, e.g., piperidin-4-yl; L = (CRaRb)2-5 or C3-5 cycloalkane-1,1-diyl; Ra, Rb = H, OH, F, or C1-4 alkyl, provided that when Ra = OH, the vicinal Rb is H or C1-4-alkyl; or RaRb forms C3-6 cycloalkyl; Rc, Rd = H or C1-4 alkyl; n, m = 0-4, provided that (n+m) = 2, 3, or 4]. The compds. are useful (no data) for the treatment and prevention of protozoal diseases in mammals and birds. A method for controlling coccidiosis in poultry comprises administering an effective amount of I alone, or in combination with one or more anticoccidial agent(s). A composition for controlling coccidiosis in poultry comprises the compound alone, or in combination with one or more anticoccidial agent(s). Methods for the treatment and prevention of mammalian protozoal diseases, such as, for example, toxoplasmosis, malaria, African trypanosomiasis (sleeping sickness), Chagas' disease, and opportunistic infections, comprise administering I alone, or in combination with one or more other antiprotozoal agent(s). For instance, invention compound II was prepared in 10 steps from 2-mercapto-4-

methylpyrimidine hydrochloride: (1) S-methylation (91%), (2) lithiation of the 4-Me group and α-aroylation with Me 4-fluorobenzoate (43%), (3) α-bromination of the formed ketone (100%), (4) cyclocondensation of the α-bromo ketone with 2-amino-4-(hydroxymethyl)pyridine to give (43%) intermediate III, (5) O-mesylation of the alc. in III (85%), (6) cyanation of the mesylate with NBu4CN (67%), (7) oxidation of the methylthio group to a sulfone (91%), (8) hydrogenation of the cyanomethyl sidechain to give aminoethyl (>100% crude), (9) ammonolysis of the sulfone to give an amino group (26% over 2 steps), and finally (10) N,N-dimethylation with formaldehyde and NaBH3CN in the presence of AcOH. Seven synthetic examples and four prophetic examples are given. Twelve compds. I are individually claimed. Combined anticoccidial use of I in poultry with a variety of named coccidiostats is also claimed.

IT 762172-80-5P, 4-[2-(4-Fluorophenyl)-7-(piperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine
RL: AGR (Agricultural use); FFD (Food or feed use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)

RN 762172-80-5 CAPLUS

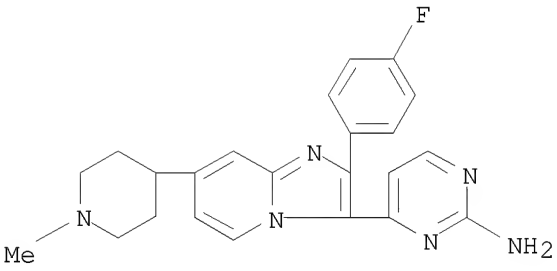
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



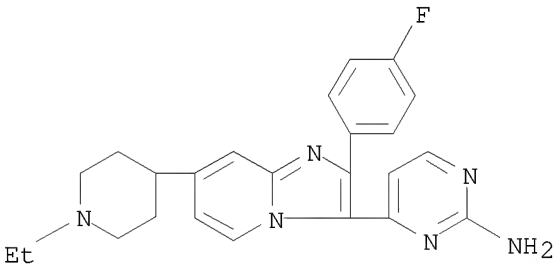
IT 762172-81-6P, 4-[2-(4-Fluorophenyl)-7-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-83-8P, 4-[2-(4-Fluorophenyl)-7-(1-ethylpiperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-84-9P, 4-[2-(4-Fluorophenyl)-7-(1-azabicyclo[2.2.2]oct-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-85-0P, 4-[2-(4-Fluorophenyl)-7-(1-methylazetidin-3-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-86-1P, 4-[2-(4-Fluorophenyl)-7-(1-methylpyrrolidin-3-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-90-7P, 4-[2-(4-Fluorophenyl)-7-[(1-methylazetidin-2-yl)methyl]imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine
RL: AGR (Agricultural use); FFD (Food or feed use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)

RN 762172-81-6 CAPLUS

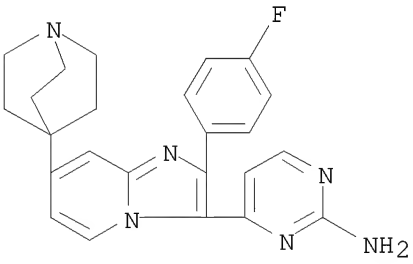
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



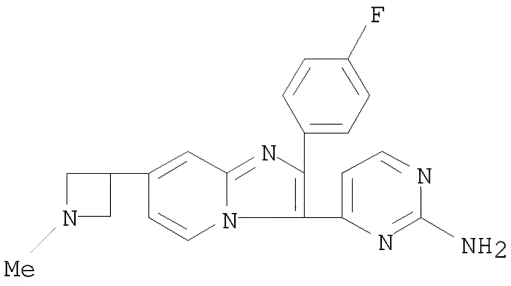
RN 762172-83-8 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-ethyl-4-piperidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



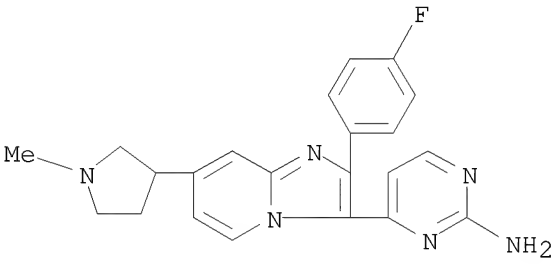
RN 762172-84-9 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azabicyclo[2.2.2]oct-4-yl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



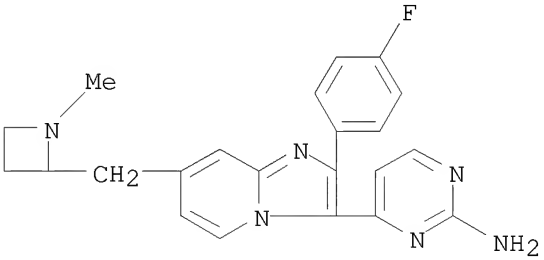
RN 762172-85-0 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-3-azetidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



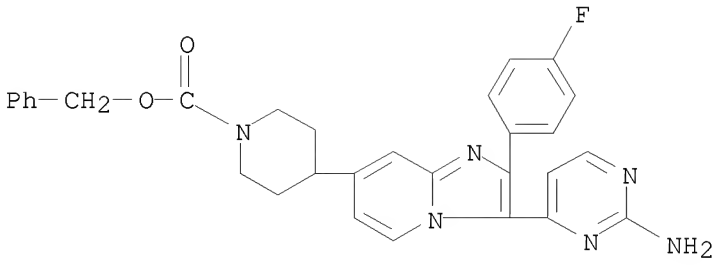
RN 762172-86-1 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-3-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



RN 762172-90-7 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-[(1-methyl-2-azetidinyl)methyl]imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



IT 762173-02-4P, Benzyl 4-[3-(2-aminopyrimidin-4-yl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]piperidine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)
RN 762173-02-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



L15 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:5958 CAPLUS
DN 138:73266
TI Preparation of imidazo[1,2-a]pyridines for the prophylaxis and treatment of herpes viral infections
IN Gudmundsson, Kristjan; Johns, Brian A.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

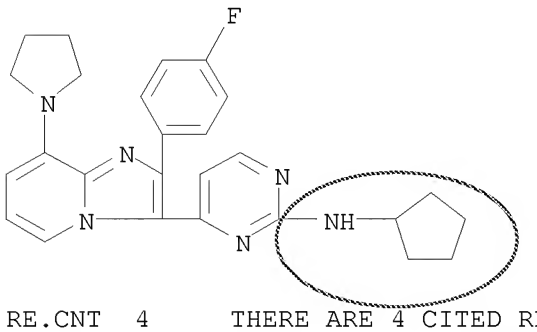
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000689	A1	20030103	WO 2002-US18520	20020610
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2451008	A1	20030103	CA 2002-2451008	20020610
	AU 2002312459	A1	20030108	AU 2002-312459	20020610
	NZ 529568	A	20031219	NZ 2002-529568	20020610
	EP 1401836	A1	20040331	EP 2002-739833	20020610
	EP 1401836	B1	20060823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002010464	A	20040720	BR 2002-10464	20020610
	CN 1518550	A	20040804	CN 2002-812449	20020610
	HU 2004000266	A2	20040830	HU 2004-266	20020610
	JP 2005500315	T	20050106	JP 2003-507092	20020610
	AT 337316	T	20060915	AT 2002-739833	20020610
	ES 2271273	T3	20070416	ES 2002-2739833	20020610
	IN 2003KN01411	A	20060317	IN 2003-KN1411	20031103
	ZA 2003008726	A	20050210	ZA 2003-8726	20031110
	US 2005228004	A1	20051013	US 2003-479526	20031202
	US 7186714	B2	20070306		
	MX 2003PA11906	A	20040326	MX 2003-PA11906	20031218
	US 2006167252	A1	20060727	US 2006-391867	20060329
	US 2007135451	A1	20070614	US 2007-627078	20070125
PRAI	US 2001-300009P	P	20010621		
	WO 2002-US18520	W	20020610		
	US 2003-479526	A3	20031202		

OS MARPAT 138:73266
AB The title compds. [I; p = 0-4; R1 = halo, alkyl, alkenyl, etc.; R2 = halo, alkenyl, cycloalkyl, etc.; Y = N, CH; R3, R4 = H, halo, alkyl, etc.; q = 0-5; R5 = halo, alkyl, alkenyl, etc.] were prepared E.g., a 7-step synthesis of II, starting from 2-amino-3-nitropyridine and 2-bromo-4'-fluoroacetophenone, which showed IC50 of 0.6 μM against HSV-1, was given.
IT 481048-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of imidazo[1,2-a]pyridines for the prophylaxis and treatment of herpes viral infections)

RN 481048-64-0 CAPLUS

CN 2-Pyrimidinamine, N-cyclopentyl-4-[2-(4-fluorophenyl)-8-(1-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:5951 CAPLUS
DN 138:73265
TI Preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses
IN Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs, Ernest W.; Qian, Xiaoxia
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000682	A1	20030103	WO 2002-US19507	20020621
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2450555	A1	20030103	CA 2002-2450555	20020621
	AU 2002322273	A1	20030108	AU 2002-322273	20020621
	US 2004176396	A1	20040909	US 2003-477367	20031112
	US 7196095	B2	20070327		
PRAI	US 2001-300748P	P	20010625		
	WO 2002-US19507	W	20020621		

OS MARPAT 138:73265
AB (pyrimidyl)(phenyl)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-α in monocytes and also IL-1β, IL-6 and PGE2 production with IC50 <5 μM. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl, -C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl, -C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(C0-4-alkyl)(-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC4O2alkyl), -C1-4-alkyl-(heterocycloC5O1alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of

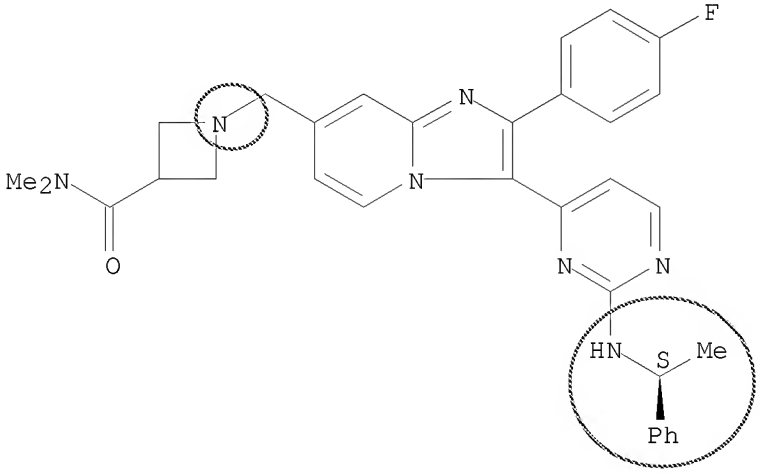
preparation are not claimed, many example prepns. are included.

IT 480456-02-8P, 7-((3-((Dimethylamino)carbonyl)azetidino)methyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-05-1P 480456-13-1P, 7-(Azetidinomethyl)-2-(4-fluorophenyl)-3-[2-(isopropylamino)pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-16-4P, 7-(Azetidinomethyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-32-4P 480456-34-6P, 7-(((S)-2-((Dimethylamino)carbonyl)azetidino)methyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

RN 480456-02-8 CAPLUS

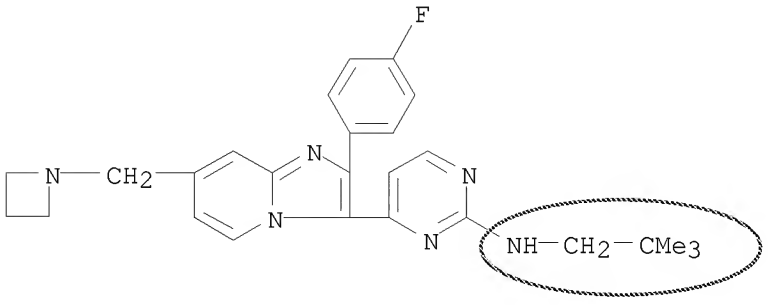
CN 3-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

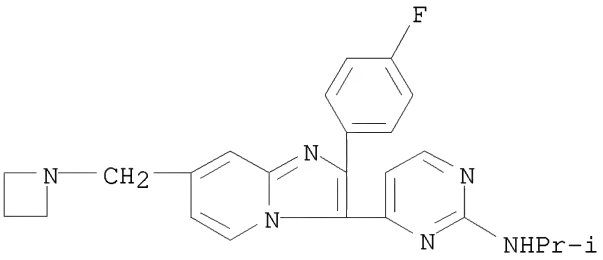


RN 480456-05-1 CAPLUS

CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(2,2-dimethylpropyl)- (CA INDEX NAME)

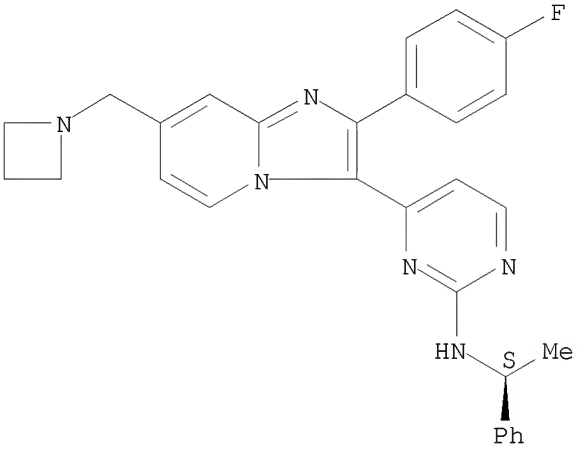


RN 480456-13-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(1-methylethyl)- (CA INDEX NAME)



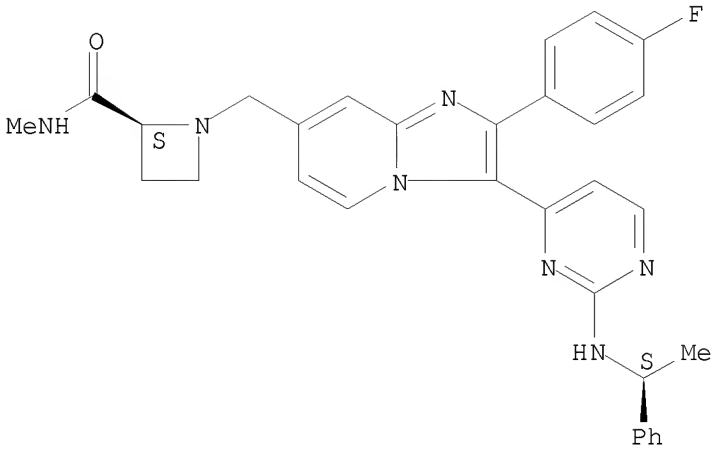
RN 480456-16-4 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



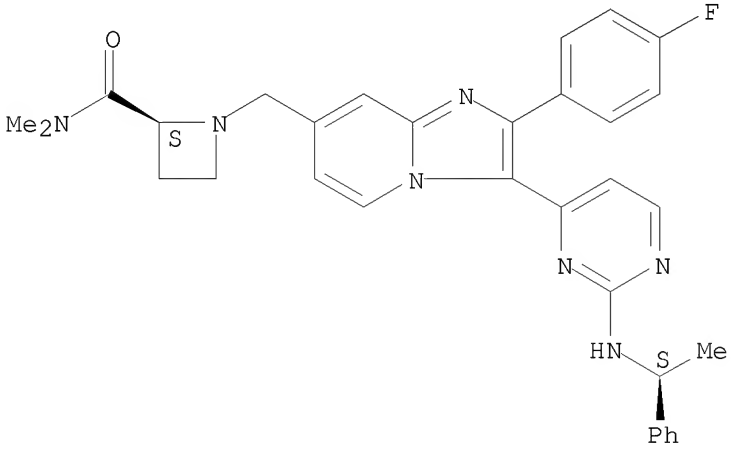
RN 480456-32-4 CAPLUS
CN 2-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 480456-34-6 CAPLUS
CN 2-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    50.01     416.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -7.20      -7.20

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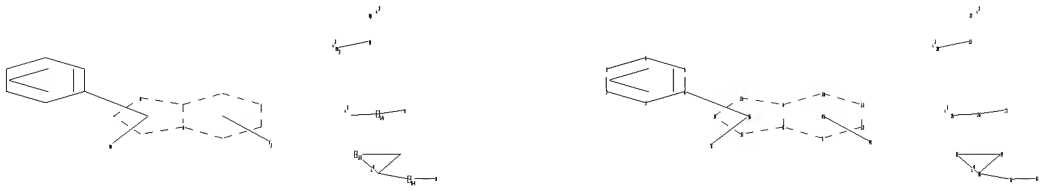

chain nodes :
18 20 21 22 25 27 33 44
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 30 31 32
ring/chain nodes :
26 36
chain bonds :
20-21 25-26 26-27 30-36 33-36
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 8-13 9-10 9-15 10-11 11-12 13-14
14-15 30-31 30-32 31-32
exact/norm bonds :
7-8 7-12 8-9 8-13 9-10 9-15 10-11 11-12 13-14 14-15 20-21 26-27 33-36

```
exact bonds :
25-26  30-31  30-32  30-36  31-32
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 : 7 : 30 :


G1:[*1],[*2],[*3],[*4]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  18:Atom  19:Atom  20:CLASS
21:Atom  22:Atom  25:CLASS  26:CLASS  27:CLASS  30:Atom  31:Atom  32:Atom  33:CLASS
 36:CLASS  44:CLASS  45:Atom
Generic attributes :
18:
Saturation             : Unsaturated
21:
Saturation             : Saturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic
22:
Saturation             : Saturated
Number of Hetero Atoms : Exactly 1

Element Count :
Node 21: Limited
    C,C3
    N,N1
    O,O0
    S,S0

Node 22: Limited
    N,N1
    C,C3
    O,O0
    S,S0




L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -     8778 TO ITERATE

 22.8% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       169944 TO   181176
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

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FULL SEARCH INITIATED 07:44:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   176991 TO ITERATE

100.0% PROCESSED   176991 ITERATIONS                               41 ANSWERS
SEARCH TIME: 00.00.02

L3           41 SEA SSS FUL L1

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L4            9 L3

=> d l4 1-9 bib,ab,hitstr
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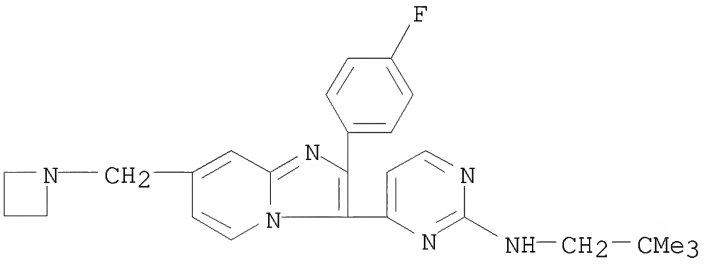
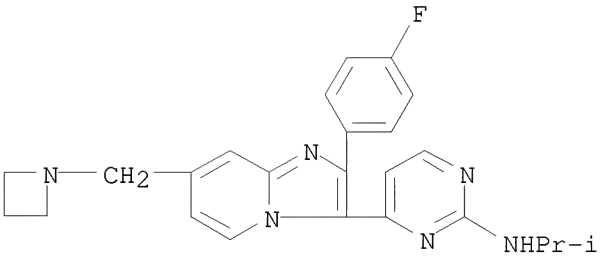
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:652151 CAPLUS
DN 147:277515
TI Synthesis and SAR studies of potent imidazopyridine anticoccidial agents
AU Liang, Gui-Bai; Qian, Xiaoxia; Feng, Dennis; Fisher, Michael; Brown, Christine M.; Gurnett, Anne; Leavitt, Penny Sue; Liberator, Paul A.; Misura, Andrew S.; Tamas, Tamas; Schmatz, Dennis M.; Wyvratt, Matthew; Biftu, Tesfaye
CS Merck Research Laboratories, Department of Medicinal Chemistry, Merck and Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(13), 3558-3561
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:277515
AB Diaryl imidazo[1,2-a]pyridine derivs. have been synthesized and found to be potent inhibitors of parasite PKG activity. The most potent compds. are the 7-isopropylaminomethyl analog I and 2-isopropylamino analog II. These compds. were also fully active in in vivo assay as anticoccidial agents at 25 ppm in feed.
IT 480456-05-1P 480456-13-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (aminopyrimidinyl)(fluorophenyl)imidazopyridine derivs. using amination of (fluorophenyl)hydroxymethyl(methylsulfonylpyrimidinyl)imidazopyridine with amines as key steps, and their anticoccidial activity and SAR)
RN 480456-05-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(2,2-dimethylpropyl)- (CA INDEX NAME)

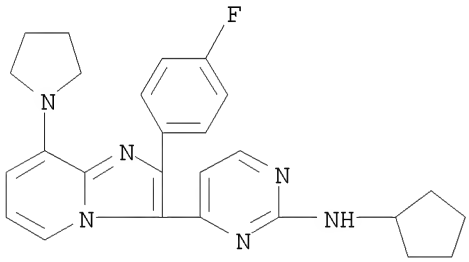


RN 480456-13-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(1-methylethyl)- (CA INDEX NAME)



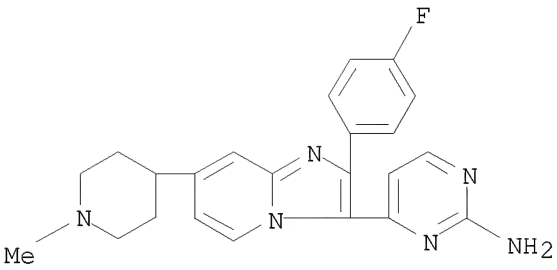
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:477982 CAPLUS
DN 147:95595
TI Imidazo[1,2-a]pyridines with potent activity against herpesviruses
AU Gudmundsson, Kristjan S.; Johns, Brian A.
CS Department of Medicinal Chemistry, Infectious Diseases Center of Excellence for Drug Discovery, GlaxoSmithKline Research & Development, Research Triangle Park, NC, 27709-3398, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(10), 2735-2739
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:95595
AB Synthesis of a series of 2-aryl-3-pyrimidylimidazo[1,2-a]pyridines (e.g. I) with potent activity against herpes simplex viruses is described. Synthetic approaches allowing for variation of the 2-aryl, 3-heteroaryl as well as other imidazopyridine substituents are outlined and resulting effects on antiviral activity are highlighted. Several compds. with in vitro antiviral activity similar or better than acyclovir are described.
IT 481048-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazo[1,2-a]pyridines with activity against herpes simplex viruses)
RN 481048-64-0 CAPLUS
CN 2-Pyrimidinamine, N-cyclopentyl-4-[2-(4-fluorophenyl)-8-(1-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



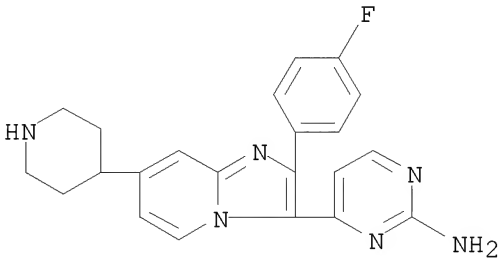
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:970603 CAPLUS
DN 147:63360
TI Inhibitors of casein kinase 1 block the growth of Leishmania major promastigotes in vitro
AU Allocco, John J.; Donald, Robert; Zhong, Tanya; Lee, Anita; Tang, Yui Sing; Hendrickson, Ronald C.; Liberator, Paul; Nare, Bakela
CS Department of Infectious Disease Research, Merck Research Laboratories, Merck and Co., Inc., Rahway, NJ, 07065-0900, USA
SO International Journal for Parasitology (2006), 36(12), 1249-1259
CODEN: IJPYBT; ISSN: 0020-7519
PB Elsevier Ltd.
DT Journal
LA English
AB Casein kinase 1 (CK1) is a family of multifunctional Ser/Thr protein kinases that are ubiquitous in eukaryotic cells. Recent studies have demonstrated the existence of, and role for, CK1 in protozoan parasites such as Leishmania, Plasmodium and Trypanosoma. The value of protein kinases as potential drug targets in protozoa is evidenced by the successful exploitation of cGMP-dependent protein kinase (PKG) with selective tri-substituted pyrrole and imidazopyridine inhibitors. These compds. exhibit in vivo efficacy against Eimeria tenella in chickens and Toxoplasma gondii in mice. We now report that both of these protein kinase inhibitor classes inhibit the growth of Leishmania major promastigotes and Trypanosoma brucei bloodstream forms in vitro. Genome informatics predicts that neither of these trypanosomatids codes for a PKG orthologue. Biochem. studies have led to the unexpected discovery that an isoform of CK1 represents the primary target of the pyrrole and imidazopyridine kinase inhibitors in these organisms. CK1 from exts. of L. major promastigotes co-fractionated with [3H]imidazopyridine binding activity. Further purification of CK1 activity from L. major and characterization via liquid chromatog. coupled tandem mass spectrometry identified CK1 isoform 2 as the specific parasite protein inhibited by imidazopyridines. L. major CK1 isoform 2 expressed as a recombinant protein in Escherichia coli displayed biochem. and inhibition characteristics similar to those of the purified native enzyme. The results described here warrant further evaluation of the activity of these kinase inhibitors against mammalian stage Leishmania parasites in vitro and in animal models of infection, as well as studies to genetically validate CK1 as a therapeutic target in trypanosomatid parasites.
IT 762172-81-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrrole and imidazopyridine cyclic guanosine monophosphate-dependent protein kinase inhibited growth of and Trypanosoma brucei bloodstream forms in parasite culture)
RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



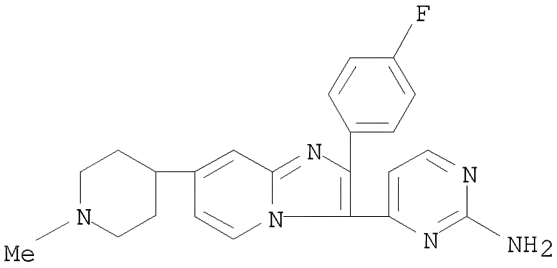
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:274310 CAPLUS
DN 144:488575
TI Synthesis and SAR studies of very potent imidazopyridine antiprotozoal agents
AU Biftu, Tesfaye; Feng, Dennis; Fisher, Michael; Liang, Gui-Bai; Qian, Xiaoxia; Scribner, Andrew; Dennis, Richard; Lee, Shuliang; Liberator, Paul A.; Brown, Chris; Gurnett, Anne; Leavitt, Penny S.; Thompson, Donald; Mathew, John; Misura, Andrew; Samaras, Samantha; Tamas, Tamas; Sina, Joseph F.; McNulty, Kathleen A.; McKnight, Crystal G.; Schmatz, Dennis M.; Wyvratt, Matthew
CS Merck Research Laboratories, Department of Medicinal Chemistry, Merck and Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(9), 2479-2483
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:488575
AB Aryl(pyrimidinyl)imidazopyridines (I) were prepared and tested for antiprotozoal activity. I [R = CH2NMe2] (IC50 110 pM) and I [R = 1-methyl-4-piperidinyl] (IC50 40 pM) are the most potent inhibitors of Eimeria tenella cGMP-dependent protein kinase activity reported to date and are efficacious in the in vivo antiparasitic assay when administered to chickens at 12.5 and 6.25 ppm levels in the feed. However, both compds. are pos. in the Ames microbial mutagenesis assay which precludes them from further development as antiprotozoal agents in the absence of neg. lifetime rodent carcinogenicity studies.
IT 762172-80-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762172-80-5 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)

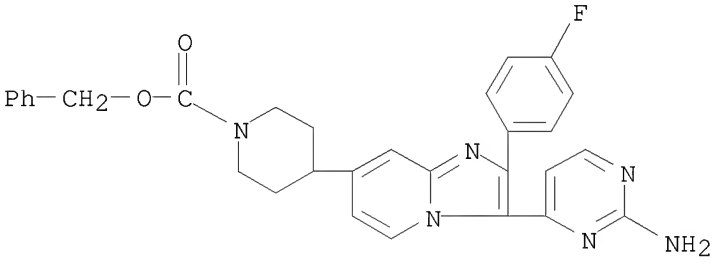


IT 762172-81-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-

piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



IT 762173-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR studies of very potent imidazopyridine antiprotozoal agents)
RN 762173-02-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:696683 CAPLUS
DN 143:189116
TI cDNA molecules and polypeptides of Toxoplasma gondii and Eimeria tenella casein kinase I isoenzymes, sequences and biological uses thereof
IN Donald, Robert G. K.; Liberator, Paul; Zhong, Xiaotian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005070180	A2	20050804	WO 2005-US955	20050112
WO 2005070180	A3	20061123		

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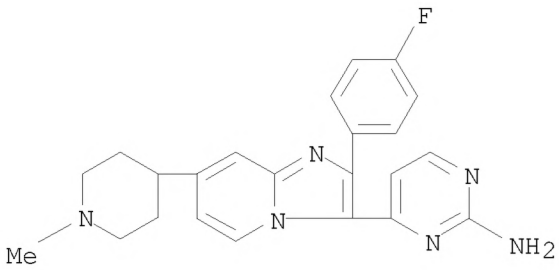
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-537094P P 20040116

AB The invention provides cDNA mols. and polypeptides of Toxoplasma gondii casein kinase I isoenzymes α and β (TgCKIα and TgCKIβ), and Eimeria tenella casein kinase I isoenzyme α (EtCKIα). The invention also provides expression vectors comprising said TgCKIα, TgCKβ and EtCKIα-encoding cDNAs and use of said vectors in transforming host cells resulting in recombinant production of said CKI isoenzymes. The invention further provides for the use of recombinant CKI isoenzymes in testing compds. that modulate said CKI isoenzymes. Finally, the invention provides the cDNA and amino acid sequences of TgCKIα, TgCKIβ and EtCKIα. In the examples, the invention presented the purification and characterization of said casein kinase I isoenzymes, including their sensitivity to variety of CDK inhibitors.

IT 762172-81-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of casein kinase I isoenzymes from Eimeria tenella and Toxoplasma gondii, including their sensitivity to variety of CDK inhibitors)

RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)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L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:588514 CAPLUS
DN 143:115554
TI A preparation of pyrimidinylimidazopyridine derivatives, useful as anticoccidial agents
IN Biftu, Tesfaye; Fisher, Michael H.; Wyvratt, Matthew J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2

Applicant's

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005060571	A2	20050707	WO 2004-US40617	20041206
	WO 2005060571	A3	20051215		
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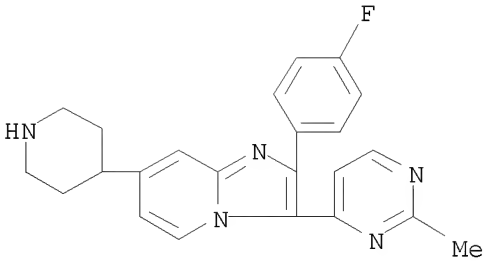
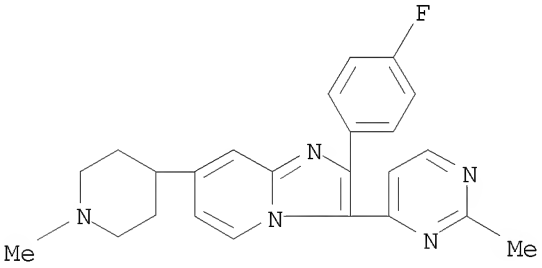
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2006293303	A1	20061228	[redacted]	20060324
PRAI	US 2003-528570P	P	20031210		
	WO 2004-US40617	W	20041206		

OS MARPAT 143:115554
AB The invention relates to a preparation of pyrimidinylimidazopyridine derivs. of formula I [wherein: R1 is H, alkyl, or halogen; R2 is H, (cyclo)alkyl, CF3, or (hetero)aryl; R3 is N-containing heterocycle; R4 is H or halogen], useful as anticoccidial agents (no biol. data). The compds. are useful for the treatment and prevention of protozoal diseases in mammals and birds. A method for controlling coccidiosis in poultry comprises administering an effective amount of the compound alone, or in combination with one or more anticoccidial agent(s). The invention also relates to methods for the treatment and prevention of mammalian protozoal diseases, such as, for example, toxoplasmosis, malaria. For instance, pyrimidinylimidazopyridine derivative II was prepared via heterocyclization of propenoylimidazopyridine derivative III with acetamidine, N-cleavage, and subsequent N-methylation (the yield of heterocyclization was 89%).
IT 857434-27-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)
RN 857434-27-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)-7-(4-piperidinyl)- (CA INDEX NAME)

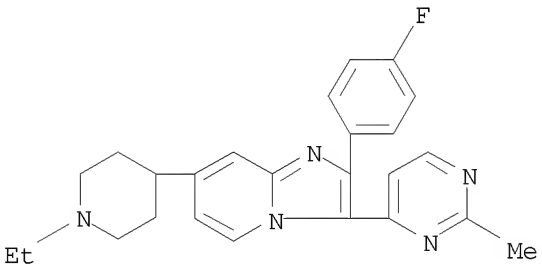


IT 857433-91-1P 857434-31-2P 857434-34-5P
857434-37-8P 857434-39-0P 857434-45-8P
857434-51-6P 857434-55-0P 857434-59-4P
857434-62-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)

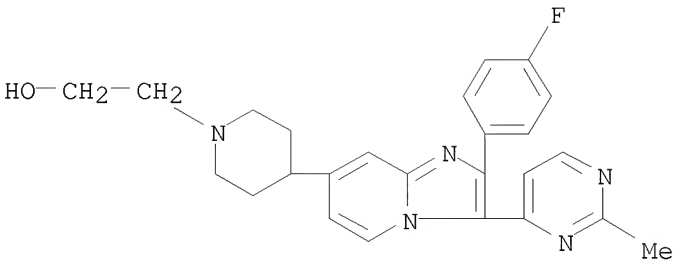
RN 857433-91-1 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(2-methyl-4-pyrimidinyl)- (CA INDEX NAME)



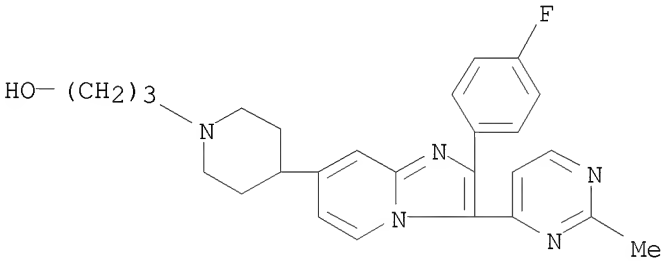
RN 857434-31-2 CAPLUS
CN Imidazo[1,2-a]pyridine, 7-(1-ethyl-4-piperidinyl)-2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)- (CA INDEX NAME)



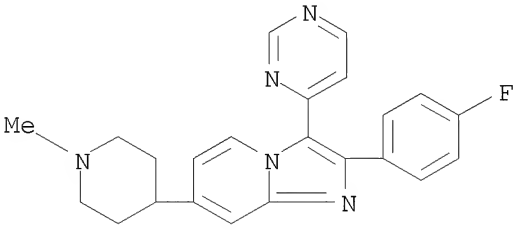
RN 857434-34-5 CAPLUS
CN 1-Piperidineethanol, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]- (CA INDEX NAME)



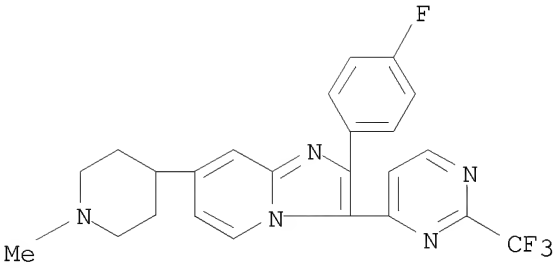
RN 857434-37-8 CAPLUS
CN 1-Piperidinepropanol, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]- (CA INDEX NAME)



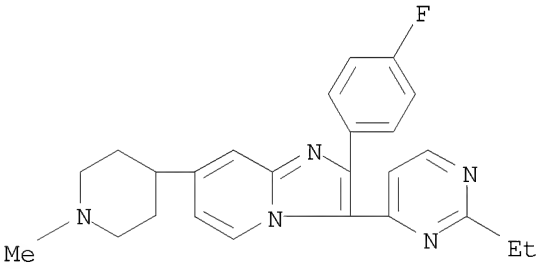
RN 857434-39-0 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(4-pyrimidinyl)- (CA INDEX NAME)



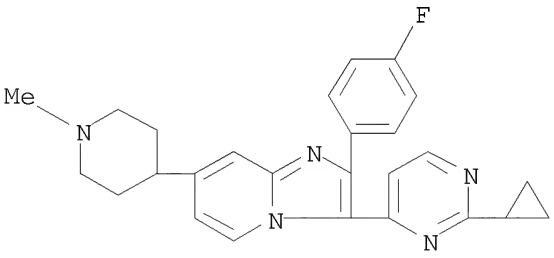
RN 857434-45-8 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-[2-(trifluoromethyl)-4-pyrimidinyl]- (CA INDEX NAME)



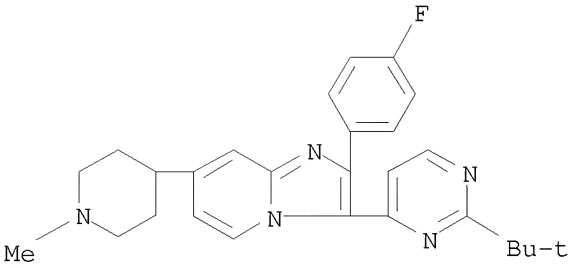
RN 857434-51-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-ethyl-4-pyrimidinyl)-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



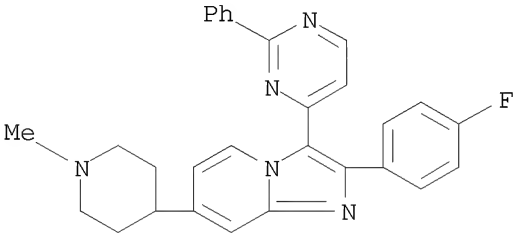
RN 857434-55-0 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-cyclopropyl-4-pyrimidinyl)-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



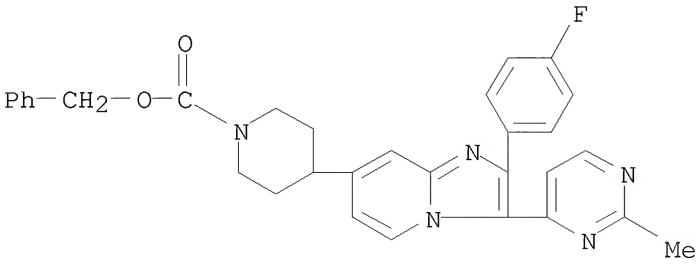
RN 857434-59-4 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-[2-(1,1-dimethylethyl)-4-pyrimidinyl]-2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



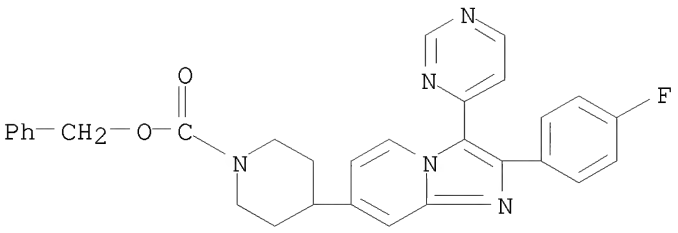
RN 857434-62-9 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(2-phenyl-4-pyrimidinyl)- (CA INDEX NAME)



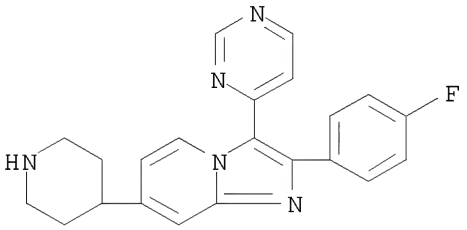
IT 857434-23-2P 857434-40-3P 857434-43-6P
857434-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)
RN 857434-23-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-(2-methyl-4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



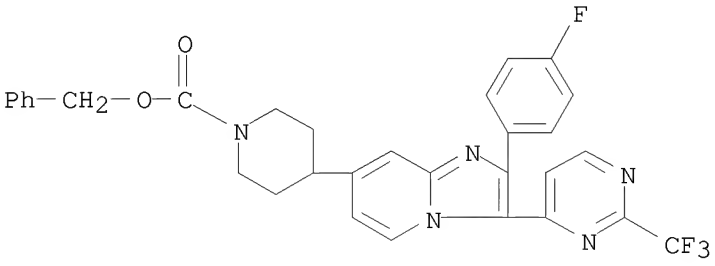
RN 857434-40-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-(4-pyrimidinyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



RN 857434-43-6 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(4-piperidinyl)-3-(4-pyrimidinyl)- (CA INDEX NAME)



RN 857434-48-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-fluorophenyl)-3-[2-(trifluoromethyl)-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:775892 CAPLUS
DN 141:296019
TI Antiprotozoal imidazopyridine compounds and their preparation, use, and compositions for the treatment of coccidiosis in poultry or protozoal diseases in mammals
IN Wyvratt, Matthew J.; Biftu, Tesfaye; Fisher, Michael H.; Schmatz, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004080390	A2	20040923	WO 2004-US6153	20040302
	WO 2004080390	A3	20050120		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	AU 2004220648	A1	20040923	AU 2004-220648	20040302
	CA 2517427	A1	20040923	CA 2004-2517427	20040302
	EP 1603900	A2	20051214	EP 2004-716431	20040302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	JP 2006520819	T	20060914	JP 2006-508940	20040302
	US 2006178358	A1	20060810	US 2005-548154	20050906
PRAI	US 2003-452467P	P	20030306		
	WO 2004-US6153	A	20040302		

common inventors

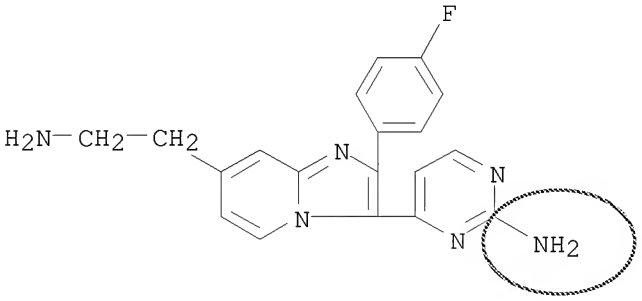
no ODP

OS MARPAT 141:296019
AB Compds. described by I and their pharmaceutically acceptable salts and/or N-oxides are disclosed [wherein: R1 = H, Me, or F; R2 = H or Me; R3 = -L-NRcRd, or various mono- and bicyclic saturated amines bound at carbon, e.g., piperidin-4-yl; L = (CRaRb)2-5 or C3-5 cycloalkane-1,1-diyl; Ra, Rb = H, OH, F, or C1-4 alkyl, provided that when Ra = OH, the vicinal Rb is H or C1-4-alkyl; or RaRb forms C3-6 cycloalkyl; Rc, Rd = H or C1-4 alkyl; n, m = 0-4, provided that (n+m) = 2, 3, or 4]. The compds. are useful (no data) for the treatment and prevention of protozoal diseases in mammals and birds. A method for controlling coccidiosis in poultry comprises administering an effective amount of I alone, or in combination with one or more anticoccidial agent(s). A composition for controlling coccidiosis in poultry comprises the compound alone, or in combination with one or more anticoccidial agent(s). Methods for the treatment and prevention of mammalian protozoal diseases, such as, for example, toxoplasmosis, malaria, African trypanosomiasis (sleeping sickness), Chagas' disease, and opportunistic infections, comprise administering I alone, or in combination with one or more other antiprotozoal agent(s). For instance, invention compound II was prepared in 10 steps from 2-mercapto-4-

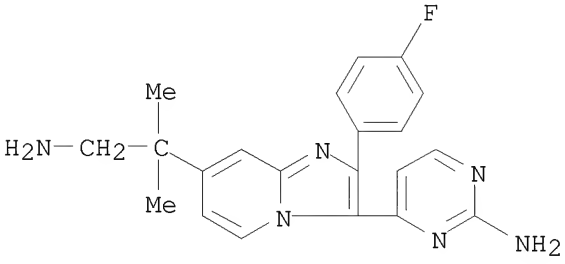
methylpyrimidine hydrochloride: (1) S-methylation (91%), (2) lithiation of the 4-Me group and α-aroylation with Me 4-fluorobenzoate (43%), (3) α-bromination of the formed ketone (100%), (4) cyclocondensation of the α-bromo ketone with 2-amino-4-(hydroxymethyl)pyridine to give (43%) intermediate III, (5) O-mesylation of the alc. in III (85%), (6) cyanation of the mesylate with NBu4CN (67%), (7) oxidation of the methylthio group to a sulfone (91%), (8) hydrogenation of the cyanomethyl sidechain to give aminoethyl (>100% crude), (9) ammonolysis of the sulfone to give an amino group (26% over 2 steps), and finally (10) N,N-dimethylation with formaldehyde and NaBH3CN in the presence of AcOH. Seven synthetic examples and four prophetic examples are given. Twelve compds. I are individually claimed. Combined anticoccidial use of I in poultry with a variety of named coccidiostats is also claimed.

IT 762172-76-9P, 4-[7-(2-Aminoethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-78-1P, 4-[7-(2-Amino-1,1-dimethylethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-80-5P, 4-[2-(4-Fluorophenyl)-7-(piperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine
RL: AGR (Agricultural use); FFD (Food or feed use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)

RN 762172-76-9 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)- (CA INDEX NAME)

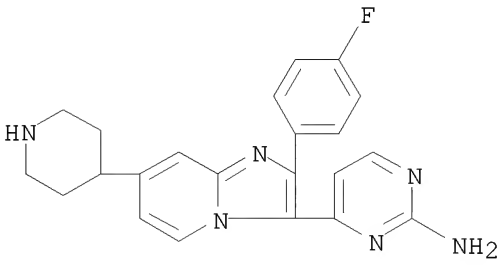


RN 762172-78-1 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-β,β-dimethyl- (CA INDEX NAME)



RN 762172-80-5 CAPLUS

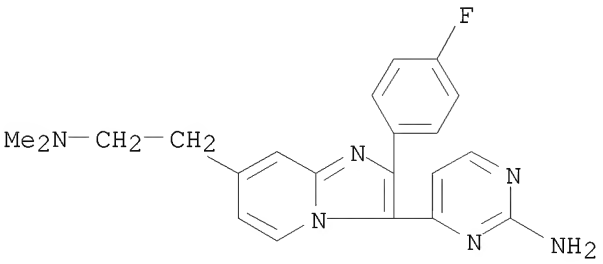
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



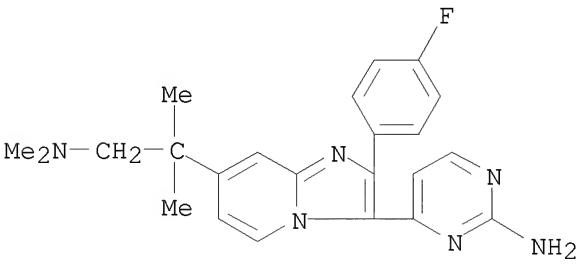
IT 762172-77-0P, 4-[7-[2-(Dimethylamino)ethyl]-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-79-2P, 4-[7-[2-(Dimethylamino)-1,1-dimethylethyl]-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-81-6P, 4-[2-(4-Fluorophenyl)-7-(1-methylpiperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-82-7P, 1-[3-(2-Aminopyrimidin-4-yl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]-2-(dimethylamino)ethanol 762172-83-8P, 4-[2-(4-Fluorophenyl)-7-(1-ethylpiperidin-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-84-9P, 4-[2-(4-Fluorophenyl)-7-(1-azabicyclo[2.2.2]oct-4-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-85-0P, 4-[2-(4-Fluorophenyl)-7-(1-methylazetidin-3-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-86-1P, 4-[2-(4-Fluorophenyl)-7-(1-methylpyrrolidin-3-yl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-87-2P, 4-[7-[2-(Dimethylamino)-2-methylpropyl]-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-88-3P, 4-[7-[2-(Dimethylamino)-1-methylethyl]-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-89-4P, 4-[7-[3-(Dimethylamino)propyl]-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine 762172-90-7P, 4-[2-(4-Fluorophenyl)-7-[(1-methylazetidin-2-yl)methyl]imidazo[1,2-a]pyridin-3-yl]pyrimidin-2-amine
RL: AGR (Agricultural use); FFD (Food or feed use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)

RN 762172-77-0 CAPLUS

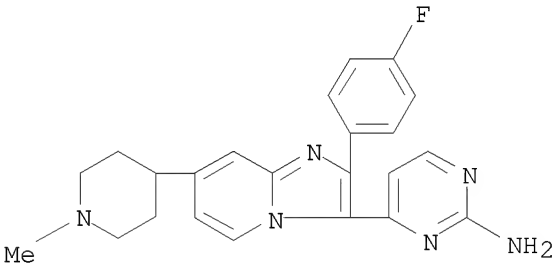
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-N,N-dimethyl- (CA INDEX NAME)



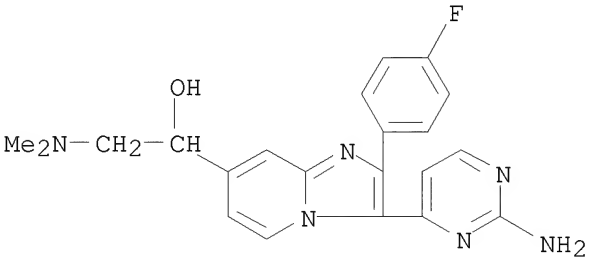
RN 762172-79-2 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-N,N,β,β-tetramethyl- (CA INDEX NAME)



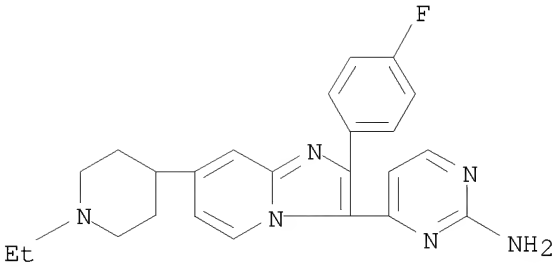
RN 762172-81-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



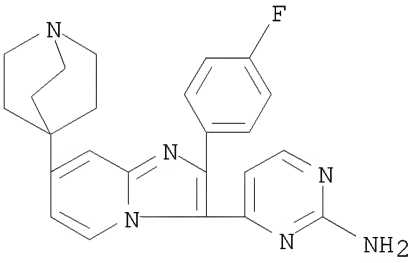
RN 762172-82-7 CAPLUS
CN Imidazo[1,2-a]pyridine-7-methanol, 3-(2-amino-4-pyrimidinyl)-α-[(dimethylamino)methyl]-2-(4-fluorophenyl)- (CA INDEX NAME)



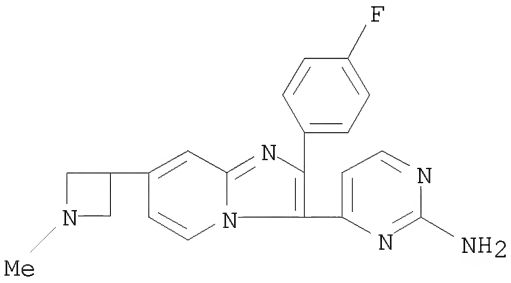
RN 762172-83-8 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-ethyl-4-piperidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



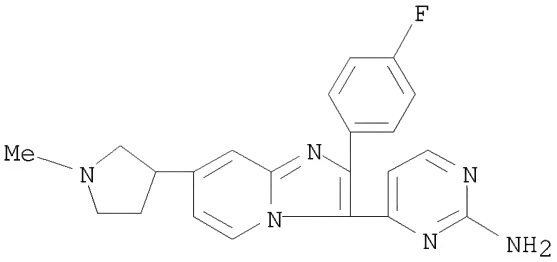
RN 762172-84-9 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azabicyclo[2.2.2]oct-4-yl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



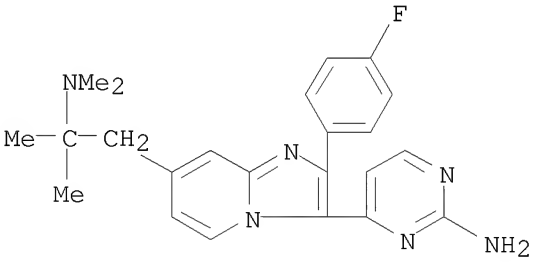
RN 762172-85-0 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-3-azetidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



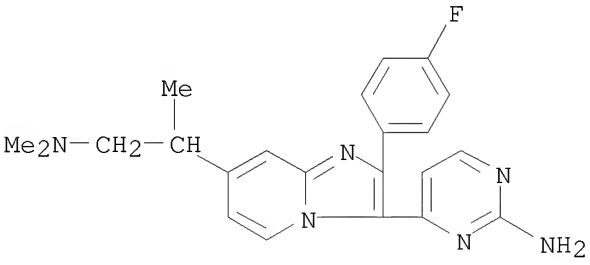
RN 762172-86-1 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-(1-methyl-3-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



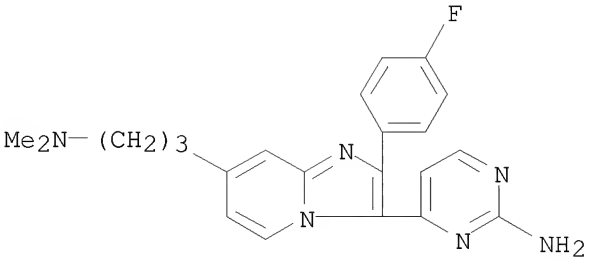
RN 762172-87-2 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-N,N,α,α-tetramethyl- (CA INDEX NAME)



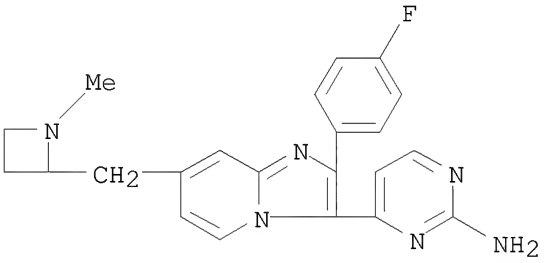
RN 762172-88-3 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-N,N,β-trimethyl- (CA INDEX NAME)



RN 762172-89-4 CAPLUS
CN Imidazo[1,2-a]pyridine-7-propanamine, 3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-N,N-dimethyl- (CA INDEX NAME)

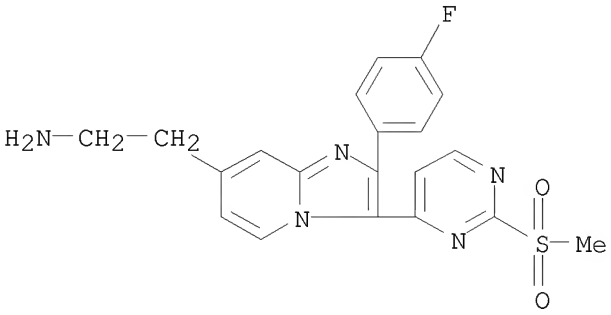


RN 762172-90-7 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-7-[(1-methyl-2-azetidinyl)methyl]imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)

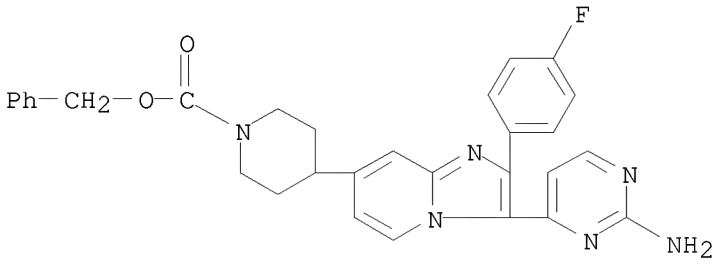


IT 762172-95-2P, 2-[2-(4-Fluorophenyl)-3-[2-(methanesulfonyl)pyrimidin-4-yl]imidazo[1,2-a]pyridin-7-yl]ethanamine
762173-02-4P, Benzyl 4-[3-(2-aminopyrimidin-4-yl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]piperidine-1-carboxylate
762173-05-7P, 1-[2-(4-Fluorophenyl)-3-[2-(methylthio)pyrimidin-4-yl]imidazo[1,2-a]pyridin-7-yl]-2-(dimethylamino)ethanol
762173-06-8P, 1-[2-(4-Fluorophenyl)-3-[2-(methylsulfonyl)pyrimidin-4-yl]imidazo[1,2-a]pyridin-7-yl]-2-(dimethylamino)ethanol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of antiprotozoal imidazopyridines for treatment of coccidiosis in poultry or protozoal diseases in mammals)

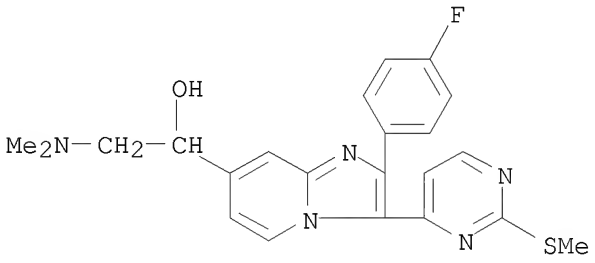
RN 762172-95-2 CAPLUS
CN Imidazo[1,2-a]pyridine-7-ethanamine, 2-(4-fluorophenyl)-3-[2-(methylsulfonyl)-4-pyrimidinyl]- (CA INDEX NAME)



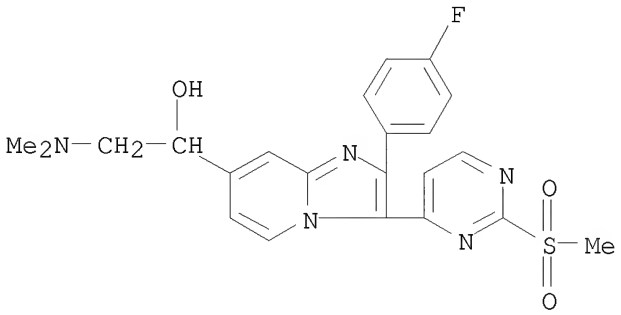
RN 762173-02-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-7-yl]-, phenylmethyl ester (CA INDEX NAME)



RN 762173-05-7 CAPLUS
CN Imidazo[1,2-a]pyridine-7-methanol, α-[(dimethylamino)methyl]-2-(4-fluorophenyl)-3-[2-(methylthio)-4-pyrimidinyl]- (CA INDEX NAME)



RN 762173-06-8 CAPLUS
CN Imidazo[1,2-a]pyridine-7-methanol, α-[(dimethylamino)methyl]-2-(4-fluorophenyl)-3-[2-(methylsulfonyl)-4-pyrimidinyl]- (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:5958 CAPLUS
DN 138:73266
TI Preparation of imidazo[1,2-a]pyridines for the prophylaxis and treatment of herpes viral infections
IN Gudmundsson, Kristjan; Johns, Brian A.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000689	A1	20030103	WO 2002-US18520	20020610
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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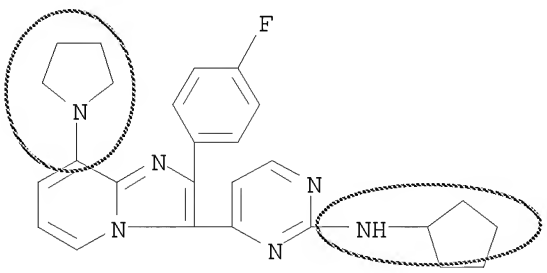
	AU 2002312459	A1	20030108	AU 2002-312459	20020610
	NZ 529568	A	20031219	NZ 2002-529568	20020610
	EP 1401836	A1	20040331	EP 2002-739833	20020610
	EP 1401836	B1	20060823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002010464	A	20040720	BR 2002-10464	20020610
	CN 1518550	A	20040804	CN 2002-812449	20020610
	HU 2004000266	A2	20040830	HU 2004-266	20020610
	JP 2005500315	T	20050106	JP 2003-507092	20020610
	AT 337316	T	20060915	AT 2002-739833	20020610
	ES 2271273	T3	20070416	ES 2002-2739833	20020610
	IN 2003KN01411	A	20060317	IN 2003-KN1411	20031103
	ZA 2003008726	A	20050210	ZA 2003-8726	20031110
	US 2005228004	A1	20051013	US 2003-479526	20031202
	US 7186714	B2	20070306		
	MX 2003PA11906	A	20040326	MX 2003-PA11906	20031218
	US 2006167252	A1	20060727	US 2006-391867	20060329
	US 2007135451	A1	20070614	US 2007-627078	20070125
PRAI	US 2001-300009P	P	20010621		
	WO 2002-US18520	W	20020610		
	US 2003-479526	A3	20031202		

OS MARPAT 138:73266
AB The title compds. [I; p = 0-4; R1 = halo, alkyl, alkenyl, etc.; R2 = halo, alkenyl, cycloalkyl, etc.; Y = N, CH; R3, R4 = H, halo, alkyl, etc.; q = 0-5; R5 = halo, alkyl, alkenyl, etc.] were prepared E.g., a 7-step synthesis of II, starting from 2-amino-3-nitropyridine and 2-bromo-4'-fluoroacetophenone, which showed IC50 of 0.6 μM against HSV-1, was given.
IT 481048-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of imidazo[1,2-a]pyridines for the prophylaxis and treatment of herpes viral infections)

RN 481048-64-0 CAPLUS

CN 2-Pyrimidinamine, N-cyclopentyl-4-[2-(4-fluorophenyl)-8-(1-pyrrolidinyl)imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:5951 CAPLUS
DN 138:73265
TI Preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses
IN Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs, Ernest W.; Qian, Xiaoxia
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000682	A1	20030103	WO 2002-US19507	20020621
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2450555	A1	20030103	CA 2002-2450555	20020621
	AU 2002322273	A1	20030108	AU 2002-322273	20020621
	US 2004176396	A1	20040909	US 2003-477367	20031112
	US 7196095	B2	20070327		
PRAI	US 2001-300748P	P	20010625		
	WO 2002-US19507	W	20020621		

OS MARPAT 138:73265
AB (pyrimidyl)(phenyl)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-α in monocytes and also IL-1β, IL-6 and PGE2 production with IC50 <5 μM. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl, -C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl, -C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(C0-4-alkyl)(-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC4O2alkyl), -C1-4-alkyl-(heterocycloC5O1alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of

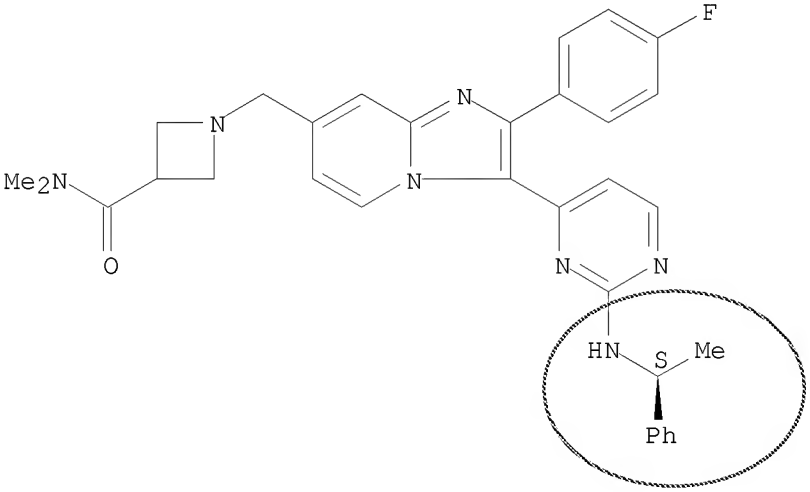
preparation are not claimed, many example prepns. are included.

IT 480456-02-8P, 7-((3-((Dimethylamino)carbonyl)azetidino)methyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-05-1P 480456-13-1P, 7-(Azetidinomethyl)-2-(4-fluorophenyl)-3-[2-(isopropylamino)pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-16-4P, 7-(Azetidinomethyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine 480456-32-4P 480456-34-6P, 7-(((S)-2-((Dimethylamino)carbonyl)azetidino)methyl)-2-(4-fluorophenyl)-3-[2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

RN 480456-02-8 CAPLUS

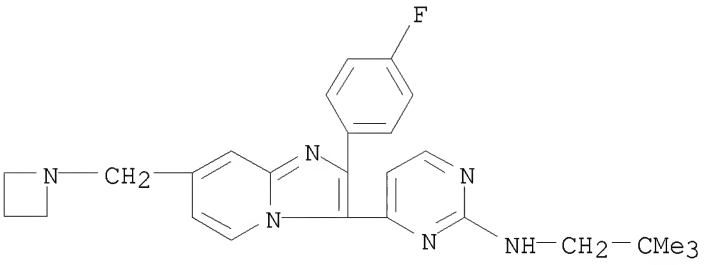
CN 3-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

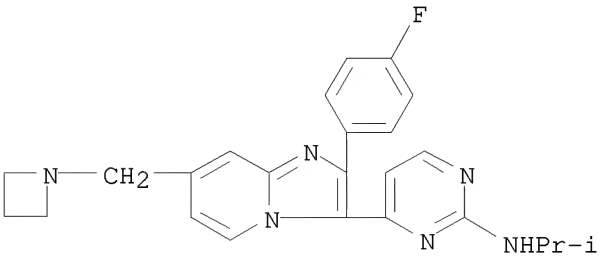


RN 480456-05-1 CAPLUS

CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(2,2-dimethylpropyl)- (CA INDEX NAME)

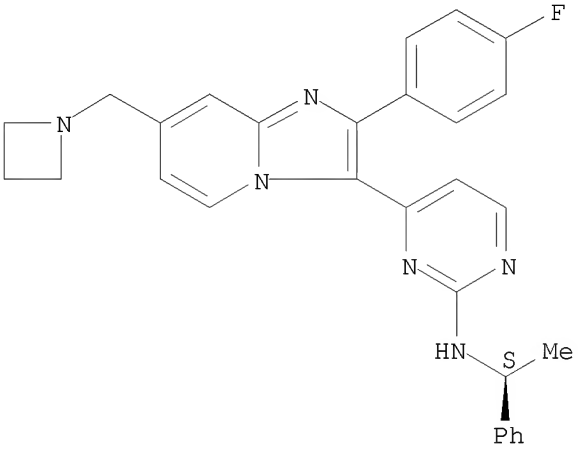


RN 480456-13-1 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-(1-methylethyl)- (CA INDEX NAME)



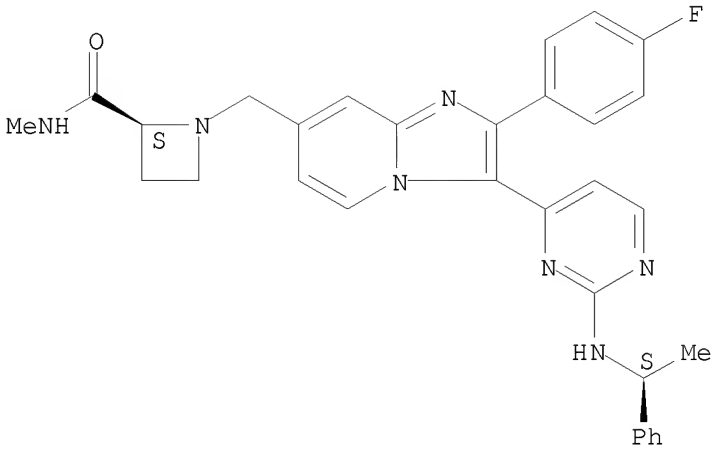
RN 480456-16-4 CAPLUS
CN 2-Pyrimidinamine, 4-[7-(1-azetidinylmethyl)-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



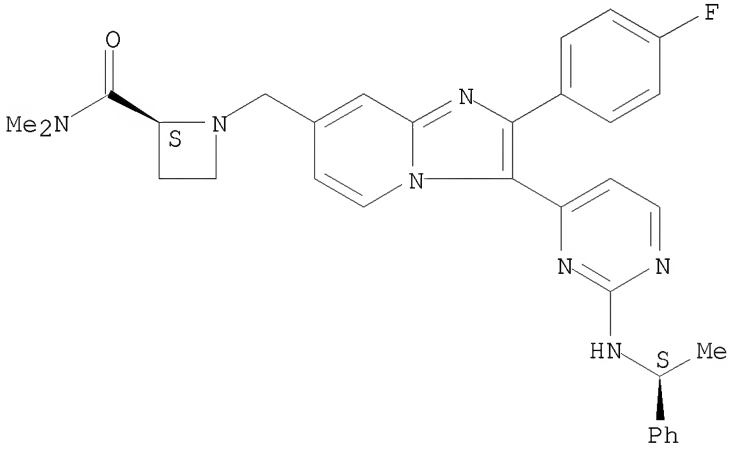
RN 480456-32-4 CAPLUS
CN 2-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 480456-34-6 CAPLUS
CN 2-Azetidinecarboxamide, 1-[[2-(4-fluorophenyl)-3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]imidazo[1,2-a]pyridin-7-yl]methyl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      50.01     229.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                      -7.20      -7.20

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